INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

SEARCH TIME: 00.00.03

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS:

102399 TO 111161

PROJECTED ANSWERS:

47 TO

L4

5 SEA SSS SAM L3

=> s 13 full

FULL SEARCH INITIATED 06:21:52 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 107216 TO ITERATE

100.0% PROCESSED 107216 ITERATIONS

220 ANSWERS

177.71

SEARCH TIME: 00.00.01

220 SEA SSS FUL L3 L5

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE TOTAL

177.50

ENTRY SESSION

FULL ESTIMATED COST

FILE 'CAPLUS' ENTERED AT 06:21:57 ON 30 JUL 2007 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2007 AMERICAN CHEMICAL SOCIETY (ACS)

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FILE COVERS 1907 - 30 Jul 2007 VOL 147 ISS 6 FILE LAST UPDATED: 29 Jul 2007 (20070729/ED)

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=> s 15

27 L5 L6

=> file caplus

COST IN U.S. DOLLARS SINCE FILE ENTRY SESSION

> 0.47 178.18

TOTAL

FULL ESTIMATED COST

FILE 'CAPLUS' ENTERED AT 06:22:23 ON 30 JUL 2007 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
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FILE COVERS 1907 - 30 Jul 2007 VOL 147 ISS 6 FILE LAST UPDATED: 29 Jul 2007 (20070729/ED)

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=> d l6 ibib abs tot

---Logging off of STN---

END

Unable to generate the STN prompt. Exiting the script...

END

Unable to generate the STN prompt. Exiting the script...

---Logging off of STN---

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Unable to generate the STN prompt. Exiting the script...

END

Unable to generate the STN prompt. Exiting the script...

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID: ssptaylc1626

PASSWORD:

THIS LOGINID IS CURRENTLY IN USE. DO YOU WISH TO RESUME THE PREVIOUS SESSION? Y/(N)/?:Y

THE PREVIOUS SESSION IS BEING DISCONNECTED.

PLEASE LOG IN AGAIN TO BE RECONNECTED.

SYSTEM LOGOFF AT 06:30:19 ON 30 JUL 2007 US EASTERN TIME

Connection closed by remote host

A new logon attempt will be made when this window closes. If you chose to RESUME PREVIOUS SESSION, then continue with the logon process as normal. If not, choose Cancel or <ESC> to interrupt the logon process.

FILE COVERS 1907 - 30 Jul 2007 VOL 147 ISS 6 (20070729/ED) FILE LAST UPDATED: 29 Jul 2007

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

http://www.cas.org/infopolicy.html

=> d ibib abs tot

CAPLUS OPYRIGHT 2007 ACS on STN ANSWER 1 OF 27 L6 ACCESSION NUMBER: 2005:1026833 CAPLUS Full-text

DOCUMENT NUMBER: 1,43:326090

TITLE:

Preparation of arylmethoxyphenyl-alkylcarboxylic acids and related derivatives for use in treating metabolic

disorders

INVENTOR(S): Akerman, Michelle; Houze, Jonathan; Lin, Daniel C. H.; Liu, Jiwen; Luo, Jian; Medina, Julio C.; Qiu, Wei; Reagan, Jeffrey D.; Sharma, Rajiv; Shuttleworth, Stephen J.; Sun, Ying; Zhang, Jian; Zhu, Liusheng

Amgen Inc., USA; et al.

PATENT ASSIGNEE(S): SOURCE: PCT Int. Appl., 163 pp.

CODEN: PIXXD2

Patent DOCUMENT TYPE: LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| P. | PATENT NO. | | | | | | * APPLICATION NO. | | | | | DATE | | | | |
|---------|------------|------|-----|------------|--------|--------|-------------------|------|------|------|------|------|-----|------|-----|----|
| WC | 20050866 | | | | | | | | | | | | | 0050 | 224 | |
| WC | 200508,66 | 61 | | A 3 | 200 | 060504 | | | | | | | | | | |
| | W: ÆE, | AG, | AL, | AM, | AT, A | J, AZ, | BA, | BB, | BG, | BR, | BW, | BY, | ΒZ, | CA, | CH, | |
| | · CN, | CO, | CR, | CU, | CZ, DI | E, DK, | DM, | DZ, | EC, | EE, | EG, | ES, | FI, | GB, | GD, | |
| | GE, | GH, | GM, | HR, | HU, II |), IL, | IN, | IS, | JP, | ΚE, | KG, | ΚP, | KR, | KZ, | LC, | |
| | LK., | LR, | LS, | LT, | LU, L | J, MA, | MD, | MG, | MK, | MN, | MW, | MX, | MZ, | NA, | NI, | |
| | NO, | NZ, | OM, | PG, | PH, P | , PT, | RO, | RU, | SC, | SD, | SE, | SG, | SK, | SL, | SM, | |
| | SY, | ТJ, | TM, | TN, | TR, T | r, TZ, | UA, | ŪĠ, | US, | UZ, | VC, | VN, | YU, | ZA, | ZM, | ZW |
| | RW: BW, | GH, | GM, | KE, | LS, M | N, MZ, | NA, | SD, | SL, | SZ, | TZ, | UG, | ZM, | ZW, | AM, | |
| | AZ, | BY, | KG, | KZ, | MD, RI | J, TJ, | TM, | ΑT, | BE, | BG, | CH, | CY, | CZ, | DE, | DK, | |
| | EE, | ES, | FI, | FR, | GB, GI | R, HU, | IE, | IS, | ĮΤ, | LT, | LU, | MC, | NL, | PL, | PT, | |
| | RO, | SE, | SI, | SK, | TR, B | F, BJ, | CF, | CG, | CI, | CM, | GA, | GN, | GQ, | GW, | ML, | |
| | · MR, | • | | • | | | | | | | | | | | | |
| | 7 20052207 | | | | | | | AU 2 | 005- | 2207 | 28 | | 2 | 0050 | 224 | |
| | 7 20052207 | | | | | | | | | | | | | | | |
| | 2558585 | | | | | | | | | | | | | | | |
| EI | 1737809 | | | | | | | | | | | | | | | |
| | R: AT, | | | | | | | | | | | | | | | |
| | | | | | LU, M | C, NL, | PL, | PT, | RO, | SE, | SI, | SK, | TR, | AL, | BA, | |
| | • | LV, | , | | | | | | | | | • | | | | |
| | 1946666 | | | Α | | 70411 | | | | | 2709 | | | | | • |
| | 20060040 | | | | | 060105 | | | | | 7 | | | | | |
| | 2006PA09 | | | | | 061030 | | | | | 93 | | | | | |
| | 20071423 | | | | | 70621 | | | | | 14 | | | | | |
| | 20060043 | | | Α | 200 | 061122 | | | | | | | | 0060 | | |
| PRIORIT | Y APPLN. | TNFO | . : | | | | | | | | 41P | | P 2 | | | |
| | | | | | | | | | | | 79P | | | | | |
| | | | | | | | | WO 2 | 005- | 0558 | 15 | | w 2 | 0050 | 224 | |

OTHER SOURCE(S): MARPAT 143:326090

$$F_3C$$
 CO_2H
 $C \equiv C - Me$

AB Title compds. Q-L1-P-L2-M-X-L3-A [Q = H, (hetero)aryl, alkyl, etc.; L1 = bond, alkylene, heteroalkylene, O, etc.; P = (hetero)arom., cycloalkylene, etc.; L2 = bond, alkylene, heteroalkylene, etc.; M = (hetero)arom., cycloalkylene, arylalkylene, etc.; X = divalent alkyl, (un)substituted-N; O, SOO-2; L3 = bond, alkylene, heteroalkylene, etc.; A = COOH, tetrazolyl, SO3H, PO3H2, etc.; I] are prepd. For instance, (S)-3-[4-((4'-trifluoromethyl-1,1'-biphenyl-3-yl)methoxy)phenyl]hexan-4-ynoic acid (II) is prepd. in 5 steps from (S)-3-(4-hydroxyphenyl)hexan-4-ynoic acid Me ester (prepn. given), 4- (trifluoromethyl)phenylboronic acid and 3-bromobenzoic acid. II has an EC50 < 0.1 .mu.M for human G protein-coupled receptor GPR40. I are useful for the treatment of type II diabetes.

L6 ANSWER 2 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 2005:531809 CAPLUS Full-text

DOCUMENT NUMBER: 144:182162

TITLE: Sypthesis, characterization of some

// (2-hydroxy-phenyl)-3-(1-phenyl-3-thiophen-2-yl-1Hpyrazol-4-yl)-propenone, 3-chloro-2-(1-phenyl-3thiophen-2-yl-1H-pyrazol-4-yl)-chromon-4-one, and 2-(1'-phenyl-3'-thiophen-2-yl-3,4-dihydro-2H,1H'-

[3,4]bipyrazol-5-yl)-phenol

AUTHOR(S): / Halnor, V. B.; Joshi, N. S.; Karale, B. K.; Gill, C.

Η.

CORPORATE SOURCE: P.G. Dept. of Chemistry, S.S.G.M. College, Kopargaon,

423 601, India

SOURCE: / Heterocyclic Communications (2005), 11(2), 167-172

CODEN: HCOMEX; ISSN: 0793-0283 Freund Publishing House Ltd.

PUBLISHER: Freund P DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 144:192162

Base catalyzed condensation of 2-hydroxyacetophenones with thiophenylpyrazolylaldehyde gives compds., 1-(3,4,5-substituted-2-hydroxy-phenyl)-3-(1-phenyl-3-thiophen-2-yl-1H-pyrazol-4-yl)-propenones. The propenone compds. on oxidative cyclization with DMSO-CuCl2 gives 3-chloro-2-(1-phenyl-3-thiophen-2-yl-1H-pyrazol-4-yl)-chromon-4-ones. The propenone compds. on condensation with hydrazine hydrate gives 2-(1'-phenyl-3'-thiophen-2-yl-3,4-dihydro-2H,1H'-[3,4]bipyrazol-5-yl)- phenol 5. The products 3, 4 and 5 were characterized by IR, 1H NMR and mass spectroscopy.

REFERENCE COUNT: 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ACCESSION NUMBER: 2005:395278 CAPLUS Full-text DOCUMENT NUMBER: 142:447209 Preparation of .alpha.-hydroxyimino-.beta-TITLE: benzylpropanoate derivatives as PPAR gamma. and PPAR.alpha. agonists for the treatment of diabetes mellitus and inflammation diseases Kim, Geun Tae; Koh, Jong Sung; Han, Hee Oon; Kim, INVENTOR (S): Seung Hae; Kim, Kyoung-Wee; Chung, Hee-Kyung; Kim, Yeon Chul; Kim, Misum; Koo, Ki Dong; Yim, Hyeon Joo; Hur, Gwong-Cheung, Lee, Sun Hwa; Lee, Chang-Seok; Woo, Sung Ho LG Life Sciences Ltd., S. Korea PATENT ASSIGNEE(S): PCT Int. Appl., 211 pp. SOURCE: CODEN: PIXXD2 Patent DOCUMENT TYPE: English LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: PATENT NO. KIND DATE APPLICATION NO. WO 2005040127 20050506 WO 2004-KR2729 **A**1 20041027 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,

SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG KR 2005040746 20050503 KR 2004-86055 20041027

ΙI

PRIORITY APPLN. INFO.:

KR 2003-75037

Α 20031027

KR 2003-75041 KR 2003-75046 Α 20031027

Α 20031027

OTHER SOURCE(S):

MARPAT 142:447209

GI

Title compds. I [wherein A = (un) substituted (cyclo) alkyl, (hetero) aryl, amine, amido, alkoxy, sulfonyl or sulfanyl; B, D, X = H or alkyl; E = H, alkyl or aryl; and pharmaceutically acceptable nontoxic salts, physiol. hydrolyzable esters, hydrates, solvates, isomers or prodrugs thereof] were prepd. as agonists of peroxisome proliferator-activated receptor gamma (PPAR.gamma.) and alpha (PPAR.alpha.). For example, II was synthesized via etherification of the corresponding phenol (prepn. given) with methanesulfonate ester of the pyrazolemethanol (prepn. given) in 40% yield. I were found to be very effective for accelerating the activity of PPAR.gamma. and PPARa with EC50 values of <1 .mu.M and <1000 nM (<100 nM for II), resp. Therefore, I are useful for treating or preventing PPAR.gamma. - and PPARa-related diseases, such as diabetes mellitus, its complications and inflammation.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS REFORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 4 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 2004:995925 CAPLUS Full-text

DOCUMENT NUMBER: /141:424182

TITLE: Preparation of pyrazole-amine compounds useful as

kinase inhibitors

INVENTOR(S): Dyckman, Alaric; Das, Jagabandhu; Leftheris, Katerina;

Liu, Chunjian; Moquin, Robert V.; Wrobleski, Stephen

APPLICATION NO.

DATE

Т.

KIND

PATENT ASSIGNÉE(S): Bristol-Myers Squibb Company, USA

SOURCE: PCT Int. Appl., 52 pp.

CODEN: PIXXD2

DATE

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.

| | WO | 2004 | 0985 | 28 | | A2 | | | | | | | | | | 2 | 0040 | 503 | |
|-------|------|------|------|------|-----|------------|-----|------|------|-----|------|------|------|-----|------|-------|------|-----|----|
| | WO | 2004 | 0985 | 28 | | A3 | • | 2005 | 0714 | | | | | | | | | | |
| | | W: | ΑE, | AG, | AL, | AM, | ΑT, | AU, | ΑZ, | BA, | BB, | BG, | BR, | BW, | BY, | ΒZ, | CA, | CH, | |
| | | | CN, | CO, | CR, | CU, | CZ, | DE, | DK, | DM, | DZ, | EC, | EE, | EG, | ES, | FI, | GB, | GD, | |
| | | | GE, | GH, | GM, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | KΕ, | ΚĢ, | ΚP, | KR, | KZ, | LC, | |
| | | | LK, | LR, | LS, | LT, | LU, | LV, | MA, | MD, | MG, | MK, | MN, | MW, | ·MX, | MZ, | NA, | NI, | |
| | | | NO, | NZ, | OM, | PG, | PH, | PL, | PT, | RO, | RU, | SC, | SD, | SE, | SG, | SK, | SL, | SY, | |
| | | | TJ, | TM, | TN, | TR, | TT, | TZ, | ŲΑ, | UG, | US, | UZ, | VC, | VN, | YU, | ZA, | ZM, | zw | |
| | | RW: | BW, | GH, | GM, | KE, | LS, | MW, | MZ, | NA, | SD, | SL, | SZ, | TZ, | UG, | ZM, | ZW, | AM, | |
| | | | • | | • | | | - | | - | AT, | - | | | • | , | • | • | |
| | | | EE, | ES, | FI, | FR, | GB, | GR, | HU, | ΙE, | IT, | LU, | MC, | NL, | PL, | PT, | RO, | SE, | |
| | | | SI, | SK, | TR, | BF, | ВJ, | CF, | CG, | CI, | CM, | GA, | GN, | GQ, | GW, | ML, | MR, | NE, | |
| | | | SN, | TD, | TG | | | | | | | • | | | | | | | |
| | US | 2004 | 2488 | 53 | | A1 | | 2004 | 1209 | | US 2 | 004- | 8380 | 06 | | 20 | 0040 | 503 | |
| | | 7151 | | | | | | 2006 | 1219 | | , | | | | | | | | |
| | US | 2005 | 0041 | 76 | | A 1 | | 2005 | 0106 | | US 2 | 004- | 8377 | 78 | | 20 | 0040 | 503 | |
| | | 2005 | | | | | | | | | US 2 | | | | | | 0040 | 503 | |
| | ΕP | 1620 | 108 | | | A2 | | 2006 | 0201 | | EP 2 | 004- | 7607 | 05 | | 2 | 0040 | 503 | |
| | | R: | ΑT, | BE, | CH, | DE, | DK, | ES, | FR, | GB, | GR, | IT, | LI, | LU, | NL, | SE, | MC, | PT, | |
| | | | ΙE, | SI, | LT, | LV, | FI, | RO, | MK, | CY, | AL, | TR, | BG, | CZ, | EE, | HU, | PL, | SK, | HR |
| | US | 2006 | 2472 | 47 | | | | | | | US 2 | 006- | 4770 | 10 | | 20 | 0060 | 628 | |
| PRIOF | RIT | APP | LN. | INFO | .: | | | • | | | US 2 | 003- | 4670 | 29P | : | P 20 | 0030 | 501 | |
| | | | | | | | | | | | US 2 | 004- | 8380 | 06 | | A3 20 | 0040 | 503 | |
| | | | | | | | | | | | WO 2 | 004- | US13 | 786 | 1 | W 2 | 0040 | 503 | |
| OTHER | R SC | URCE | (S): | | | MAR | TAG | 141: | 4241 | 82 | | | | | | | • | | |

The title compds. I [G = Ph, pyridyl; W = CH2O, CO2, NHCHR8, CHR8NH, NHCO(CHR8)r (wherein R8 = H, alkyl; r = 0-2); R1 = H, (un)substituted alkyl, aryl, etc.; R2 = H, (un)substituted alkyl, alkoxy, etc.; R3 = H, CF3, OCF3, etc.; R4 = H, (un)substituted alkyl, halo, etc.; R5 = CF3, OCF3, CN, etc.; X = CONH, NHCO, NHCO2, SO2NH, CO2, or is absent; R6 = H, (un)substituted alkyl, alkoxy, etc.; m = 0-3], useful for treating p38 kinase-assocd. conditions (such as inflammatory disorder)in a mammal (no data), were prepd. E.g., a 3-step synthesis of II, starting from 1-phenyi-5-propyl-1H-pyrazole-4-carbonyl chloride, was given.

L6 ANSWER 5 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 2004:963181 CAPLUS Full-text

DOCUMENT NUMBER: 141:379941

TITLE: Preparation of quinazoline-2,4-diamines as melanin

concentrating hormone (MCH) receptor antagonists

INVENTOR(S): Sekiguchi, Yoshikatsu; Kanuma, Yukihiro; Omodera,

Katsunori; Tran, Thuy-ahn; Kramer, Bryan Aubrey;

/ Beeley, Nigel Robert Arnold

PATENT ASSIGNEE(S): Taisho Pharmaceutical Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 988 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

GI

| PATENT NO. | KIND | DATE | APPLICATION NO. | | DATE |
|------------------------|--------|------------|-----------------|---|----------|
| / | | | | - | |
| JP 2004315511 | Α | 20041111 | JP 2004-95046 | | 20040329 |
| PRIORITY APPLN. INFO.: | | | JP 2003-93418 | Α | 20030331 |
| OTHER SOURCE(S): | MARPAT | 141:379941 | | | |

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. Q-L-Y-R1 [Q = Q1, H2NC(:NH); wherein R2 = NHNH2, NHNHBoc,
 (un)substituted NH2, morpholino, 4-acetyl-piperazinyl, 4-phenylpiperazinyl; R1
 = each (un)substituted C1-16 alkyl, C2-8 alkenyl, C2-4 alkynyl, C3-6
 cycloalkyl, C3-6 cycloalkenyl, carbocyclyl, carbocyclic alkyl, or
 heterocyclyl; L = each Q2-Q6 or its cis- or trans-isomer, Q7-Q16; R4 = H, C1-3

alkyl; R5 = H, each (un)substituted carbocyclic aryl or C1-3 alkyl; Y = SO2, CO, a single bond, CH2] or salts thereof are prepd. These compds. are MCH receptor antagonists and used for regulating orphan G protein-coupled receptor SLC-1 and for the prevention and/or treatment of obesity, obesity-related diseases, anxiety, or depression. Thus, hydrogenolysis of benzyl cis-[[4-(4-dimethylaminoquinazolin-2-ylamino)cyclohexyl]methyl]carbamate over 5% Pd-C in MeOH at 50.degree. under H atm. for 3 days gave a soln. of cis-[[4-(4-dimethylaminoquinazolin-2-ylamino)cyclohexyl]methyl]amine in MeOH which underwent reductive alkylation with 4-bromo-2-trifluoromethoxybenzaldehyde and NaBH(OAc)3 in AcOH/CH2Cl2 to give, after purifn. using HPLC and treatment with 4 N HCl/EtOAc, compd. (I).2HCl. In a high throughput function screen for identifying lead compds., I.2HCl inhibited the human MCH-induced cellular Ca2+flux with IC50 of 6 .mu.g/mL.

L6 ANSWER 6 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

2004:606448 CAPLUS Full-text

DOCUMENT NUMBER:

141:157111

TITLE:

Preparation of pyrazoles and analogs as PPAR

modulators for treatment of metabolic disorders,

diabetes mellitus, atherosclerosis, and cardiovascular

Current app.

disorders

INVENTOR(S):

Conner, Scott Eugene; Ma, Tianwei; Mantlo, Nathan Bryan; Mayhugh, Daniel Ray; Schkeryantz, Jeffrey

Michael; Warshawsky, Alan M.; Zhu, Guoxin

PATENT ASSIGNEE(S):

Eli Lilly and Company, USA

SOURCE:

PCT Int. Appl., 214 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------------|-------------|---------------------|---|
| | | | | |
| WO 2004063166 | Al | 20040729 | WO 2003-US39119 | 20031231 |
| WO 2004063166 | A8 | 20050303 | | |
| W: AE, AG, | AL, AM, AT | , AU, AZ, | BA, BB, BG, BR, BW, | BY, BZ, CA, CH, |
| CN, CO, | CR, CU, CZ | , DE, DK, 1 | DM, DZ, EC, EE, EG, | ES, FI, GB, GD, |
| GE, GH | GM, HR, HU | , ID, IL, | IN, IS, JP, KE, KG, | KP, KR, KZ, LC, |
| LK, LR | LS, LT, LU | , LV, MA, | MD, MG, MK, MN, MW, | MX, MZ, NI, NO, |
| NZ, OM | PG, PH, PL | , PT, RO, | RU, SC, SD, SE, SG, | SK, SL, SY, TJ, |
| TM, TN | TR, TT, TZ | , UA, UG, | US, UZ, VC, VN, YU, | ZA, ZM, ZW |
| RW: BW, GH | GM, KE, LS | , MW, MZ, | SD, SL, SZ, TZ, UG, | ZM, ZW, AM, AZ, |
| | | | AT, BE, BG, CH, CY, | |
| · · · | • • | | IT, LU, MC, NL, PT, | |
| · · | | | GA, GN, GQ, GW, ML, | |
| · | | | AU 2003-296404 | · · · · · · · · · · · · · · · · · · · |
| | | | EP 2003-815195 | |
| | | • | GB, GR, IT, LI, LU, | |
| · · | | | CY, AL, BG, CZ, EE, | • |
| | | | US 2005-540341 | · |
| . PRIORITY APPLN. INFO | | 20001020 | US 2003-438563P | |
| FRIORIII AFFON. INC | | | WO 2003-US39119 | |
| OTHER COURCE(C). | млоолт | 141.15711 | | 20031231 |

OTHER SOURCE(S):

MARPAT 141:157111

GT

$$E-Y = \begin{vmatrix} R8 & R32 & R1 \\ - & & & \\ - & & & \\ R9 & & & & \\ R9 & & & & \\ R11 & & & \\ R12 & & & \\ R11 & & & \\ R12 & & & \\ R12 & & & \\ R13 & & & \\ R14 & & \\ R14 & & & \\ R15 & &$$

AB Title pyrazoles, imidazoles, and (is)oxazoles I [wherein R1 = H, (un) substituted alkyl, alkenyl, (hetero) aryl(alkyl), arylheteroalkyl, cycloalkylaryl(alkyl); R2 = absent, (hetero)alkyl; R8 = H, alkyl, alkylenyl, halo; R9 = H, (un)substituted alkyl, alkylenyl, halo, aryl(alkyl), heteroaryl, allyl, alkoxy, alkylthio, etc.; R10, R11 = independently H, OH, CN, NO2, halo, oxo, (un) substituted (halo) alkyl, alkoxy, cycloalkyl, (hetero) aryl (alkyl), cycloalkylaryl(alkyl), aryloxy, acyl, carboxy, amino, sulfamoyl, etc.; R32 = bond, H, halo, (halo)alkyl, alkyloxo; E = (un)substituted carboxy(methyl), tetrazolyl(methyl), nitriloalkyl, carboxamido(methyl), sulfonamido(methyl); U = (un) substituted aliph. linker wherein one C of the linker is optionally replaced with O, NH, or S; X = bond, O, S, SO2, NH; Y = bond, CH2, NH; Z1, Z2 = independently N, O, C, whit the proviso that at least one of Z1 and Z2 = N; Z3 = N, O, C; or stereoisomers, pharmaceutically acceptable salts, solvates, and hydrates thereof] were prepd. as peroxisome proliferator activated receptor (PPAR) modulators (no data). For example, chlorination of [3-methyl-1-(4-trifluoromethylphenyl)-1H-pyrazol-4-yl]methanol with MeSO2Cl and TEA in CH2Cl2, followed by coupling with (4-hydroxy-2- methylphenoxy)acetic acid Me ester using Cs2CO3 in acetonitrile and sapon. with NaOH in MeOH provided II. I and their pharmaceutical compns. are expected to be effective in treating and preventing metabolic disorders, diabetes mellitus, atherosclerosis, and cardiovascular disorders (no data).

II

L6 ANSWER 7 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

2004:430797 CAPLUS Full-text

DOCUMENT NUMBER:

141:7108

TITLE:

Preparation of pyrazoles as modulators of peroxisome

proliferator activated receptors (PPARs), in

particular PPAR.gamma. agonists

INVENTOR(S):

Huck, Jacques; Saladin, Regis; Sierra, Michael

PATENT ASSIGNEE(S): Carex SA, Fr.

SOURCE:

PCT Int. Appl., 156 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:
FAMILY ACC. NUM. COUNT:

English 2

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

WO 2004043951 A1 20040527 WO 2003-EP311855 20031024

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,

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CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI; GB, GD, GE,
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             LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ,
             OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM,
             TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
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     AU 2003282051
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                                                                  . 20031024
PRIORITY APPLN. INFO.:
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                                            ·EP 2002-360373
                                                                 Α
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                                                                    20030325
                                             EP 2003-360070
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                                                                    20030611
                                             EP 2003-360091
                                                                    20030724
                                             WO 2003-EP11855
                                                                    20031024
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OTHER SOURCE(S):

GI

MARPAT 141:7108

$$R^2$$
 $N - (CH_2)_n$
 R^{12}

Title compds. I [wherein R1 = H, CF3, (un) substituted alkyl, cycloalkyl, AB heterocyclyl, etc.; R2 = (un)substituted alkyl, amino, COH, etc.; n = 0-6; R11 and R12 = independently H, alkyl, CO2H and derivs., OH and derivs., NH2 and derivs., etc.; their analogs, derivs., solvates or salts] were prepd. for modulating peroxisome proliferator activated receptors (PPARs), in particular as PPAR.gamma. agonists, and for treating and/or preventing various diseases and conditions mediated by said nuclear receptors, including metabolic or cell proliferative disorders (no data). For example, 1-phenyl-3-(thiophen-2-yl)-1H-pyrazole-4-carboxaldehyde (prepn. given) was reacted with 1-(4methoxyphenyl)ethanone in isopropanol to give II in 67% yield. II inhibited adipocyte differentiation induced by rosiglitazone by about 68%, demonstrating its antagonistic activity towards human PPAR.gamma.. II induced adipocyte differentiation (25% of rosiglitazone efficacy), proving its human PPAR.gamma. partial agonistic activity. I are useful for treating diabetes, atherosclerosis, hyperglycemia, dyslipidemia, obesity, syndrome X, insulin resistance, hypertension, neuropathy, microvascular diseases (e.g.

retinopathy, nephropathy), macrovascular diseases (e.g. myocardial infarction, stroke, heart failure) in mammals.(no data).

ANSWER 8 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2003:951003 CAPLUS Full-text DOCUMENT NUMBER: 140:16723

TITLE: Preparation of 1,2-azole derivatives with hypoglycemic

and hypolipidemic activity

INVENTOR(S): Maekawa, Tsuyoshi; Hara, Ryoma; Odaka, Hiroyuki;

Kimura, Hiroyuki; Mizufune, Hideya; Fukatsu, Kohji

Takeda Chemical Industries, Ltd., Japan; Takeda PATENT ASSIGNEE(S):

Pharmaceutical Company Limited

SOURCE: PCT Int. Appl., 564 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| PATENT NO. | KIND DATE | APPLICATION NO. | DATE | | | |
|---|--|--|------------------------------------|--|--|--|
| WO 2003099793 WO 2003099793 WO 2003099793 | A8 200412 | 29 | 20030522 | | | |
| W: AE, AG, A CO, CR, C GM, HR, A | L, AM, AT, AU, A U, CZ, DE, DK, D U, ID, IL, IN, I | Z, BA, BB, BG, BR, BY, M, DZ, EC, EE, ES, FI, S, JP, KE, KG, KR, KZ, K, MN, MW, MX, MZ, NI, | GB, GD, GE, GH, LC, LK, LR, LS, | | | |
| UA, UG, U | S, UZ, VC, VN, Y | E, SG, SK, SL, TJ, TM, J, ZA, ZM, ZW D, SL, SZ, TZ, UG, ZM, | | | | |
| KG, KZ, M FI, FR, C | D, RU, TJ, TM, A' B, GR, HU, IE, I' | r, BE, BG, CH, CY, CZ, r, LU, MC, NL, PT, RO, | DE, DK, EE, ES, SE, SI, SK, TR, | | | |
| CA 2487315 | A1 200312 | A, GN, GQ, GW, ML, MR, D4 CA 2003-2487315 | 20030522 | | | |
| AU 2003241173 JP 2004277397 EP 1513817 | A 200410 | 12 AU 2003-241173 D7 JP 2003-144984 L6 EP 2003-730575 | 20030522 | | | |
| IE, SI, I | T, LV, FI, RO, M | R, GB, GR, IT, LI, LU, K, CY, AL, TR, BG, CZ, O6 US 2005-517214 | EE, HU, SK | | | |
| PRIORITY APPLN. INFO. | | JP 2002-151405 JP 2002-287161 | A 20020524 A 20020930 | | | |
| OTHER COURCE (C). | Μ ለወወስጥ 140 ·16 | JP 2003-16748 . WO 2003-JP6389 | | | | |

OTHER SOURCE(S):

MARPAT 140:16723

GI

(EtOH-acetone), 51; .alpha.-naphthyl, 165-6.degree. (EtOH-acetone), 51.7; 5,6,7,8-tetrahydro-.beta.-naphthyl, 165-6.degree. (EtOH-acetone), 31.7; 5-(2,3-dihydro)indenyl, 178.degree. (EtOH-acetone), 55.4; 3-methyl-4-chlorophenyl, 185-7.degree. (EtOH), 74.3; 2-chloro-5-methylphenyl, 161-3.degree. (EtOH), 47.5; 3-bromo-4-methylphenyl, 186-7.degree. (EtOH), 88; and 3-trifluoromethylphenyl, 136-7.degree. [EtOH-iso-Pr20], 55.7. Some show slight antiinflammatory activity.

L6 ANSWER 27 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 1968:496713 CAPLUS Full-text

DOCUMENT NUMBER: 69:96713

TITLE: 4-Substituted 1,2-diphenyl-3,5-dioxopyrazolidines

PATENT ASSIGNEE(S): SPOFA, United Pharmaceutical Works

SOURCE: Brit., 6 pp.
CODEN: BRXXAA

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | | KIND | DATE | APPLICATION NO. | DATE |
|-----------------|--------|------|----------|-----------------|----------|
| | | | | | |
| GB 1117679 | | | 19680619 | GB 1966-51960 | 19661121 |
| CZ 145219 | | | | CZ | |
| DE 1620440 | | | | DE | |
| FR 1513442 | | | | FR | |
| US 3519640 | | | 19700707 | US | 19661221 |
| PRIORITY APPLN. | INFO.: | | • | CS . | 19651223 |

GI For diagram(s), see printed CA Issue.

AB Pyrazolidines and their salts with antiinflammatory, analgesic, fibrinolytic, antirheumatic and uricosurgical properties were prepd. To 12.5 q. Na in 750 ml. MeOH is added 126 g. 1,2-diphenyl-3,5- dioxopyrazolidine, the whole added to a soln. of 78.5 g. 1-dimethylamino-4,4-dimethyl-3-pentanone in 200 ml. MeOH, the mixt. refluxed and stirred as a soln. of 62.8 g. Me2SO4 in 150 ml. MeOH is added dropwise over 40-50 min., and the mixt. refluxed and stirred 3 hrs. and worked up to yield 70 g. 1,2-diphenyl-3,5-dioxo-4-(4,4-dimethyl-3 oxopentyl)pyrazolidine, m. 139-40.degree. (dil. HOAc). Also prepd. were the following I (R and m.p. given): 2-FC6H4, 175-7.degree. (EtOH); 3-FC6H4, 149-50.degree.; 4-FC6H4, 106-7.degree.; 2-IC6H4, 135-7.degree.; 3-IC6H4, 114-15.degree.; 4-IC6H4, 151-2.degree.; 2-ClC6H4, 125-7.degree.; 3-ClC6H4, 119-20.degree.; 2-BrC6H4, 138-9.degree.; 3-BrC6H4, 119-21.degree.; 3-F3CC6H4, 128-30.degree. (EtOH); 2,5-ClMeC6H3, 118-20.degree. (EtOH); 3,4-BrMeC6H3, 146-8.degree.; 4-MeSC6H4, 126-7.degree.; 2,5-Me2C6H3, 129-30.degree.; 3,4-Me2C6H3, 147-8.degree.; 2,4,6-Me3C6H2, 123-5.degree.; 4-EtC6H4, 130-2.degree.; 4-iso-PrC6H4, 122-3.degree.; 4-BuC6H4, 122-4.degree.; 4-iso-BuC6H4, 136-7.degree.; 4-sec-BuC6H4, 115-16.degree.; 4-tert-BuC6H4, 125-6.degree.; 4-HO2CC6H4, 195-6.degree.; 4-PhCH2OC6H4, 130-1.'degree.; 1-adamantyl, 152-3.degree.; and 2thienyl, 148-9 degree. Also prepd. were the following I (RCOCH2CH2 and m.p. given): 4-methyl-3-oxobutyl, 116-18.degree.; 4-methyl-3-oxohexyl, 101-3.degree.; 1,3-diphenyl-3-oxopropyl, 164-6.degree., 5-indanoylethyl, 134-6.degree.; 6-tetrahydronaphthoylethyl, 129-31.degree.; and 1-naphthoylethyl, 162-4.degree..

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2004:430797 CAPLUS Full-text
ACCESSION NUMBER:
DOCUMENT NUMBER:
                         141:7108
                         Preparation of pyrazoles as modulators of peroxisome
TITLE:
                         proliferator activated receptors (PPARs), in
                         particular PPAR gamma. agonists
                         Huck, Jacques; Saladin, Regis; Sierra, Michael
INVENTOR(S):
                         Carex SA, Fr.
PATENT ASSIGNEE(S):
                         PCT Int. Appl., 15% pp.
SOURCE:
                         CODEN: PIXXD2
DOCUMENT TYPE:
                         Patent
LANGUAGE:
                         English
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
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     PATENT NO.
                                DATE
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                                                                   DATE
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     WO 2004043951
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             OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM,
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PRIORITY APPLN. INFO.:
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                                            EP 2003-360091
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                                                                W
                                                                   20031024
OTHER SOURCE(S):
                         MARPAT 141:7108
GI
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II

$$\begin{array}{c} R2 \\ R1 \\ R1 \\ \end{array}$$

AB Title compds. I [wherein R1 = H, CF3, (un) substituted alkyl, cycloalkyl, heterocyclyl, etc.; R2 = (un)substituted alkyl, amino, COH, etc.; n = 0-6; R11 and R12 = independently H, alkyl, CO2H and derivs., OH and derivs., NH2 and derivs., etc.; their analogs, derivs., solvates or salts] were prepd. for modulating peroxisome proliferator activated receptors (PPARs), in particular as PPAR.gamma. agonists, and for treating and/or preventing various diseases and conditions mediated by said nuclear receptors, including metabolic or cell proliferative disorders (no data). For example, 1-phenyl-3-(thiophen-2-yl)-1H-pyrazole-4-carboxaldehyde (prepn. given) was reacted with 1-(4methoxyphenyl)ethanone in isopropanol to give II in 67% yield. II inhibited adipocyte differentiation induced by rosiglitazone by about 68%, demonstrating its antagonistic activity towards human PPAR.gamma.. II induced adipocyte differentiation (25% of rosiglitazone efficacy), proving its human PPAR.gamma. partial agonistic activity. I are useful for treating diabetes, atherosclerosis, hyperglycemia, dyslipidemia, obesity, syndrome X, insulin resistance, hypertension, neuropathy, microvascular diseases (e.g. retinopathy, nephropathy), macrovascular diseases (e.g. myocardial infarction, stroke, heart failure) in mammals. (no data).

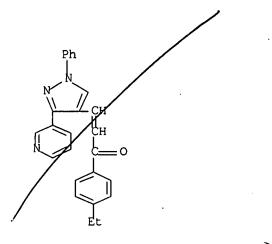
423728-18-1P, 1-(4-Ethylphenyl)-3-[1-phenyl-3-(pyridin-3-yl)-1Hpyrazol-4-yl]propenone

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(PPAR.gamma. agonist; prepn. of pyrazoles as modulators of peroxisome proliferator activated receptors (PPARs), in particular PPAR.gamma. agonists)

RN 423728-18-1 CAPLUS

CN 2-Propen-1-one, 1-(4-ethylphenyl)-3-[1-phenyl-3-(3-pyridinyl)-1H-pyrazol-4yl] - (9CI) (CA INDEX NAME)



ANSWER 9 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: Full-text

2003:282325 CAPLUS

DOCUMENT NUMBER:

138:321285

Preparation of quinazoline-2,4-diamines as MCH

receptor antagonists

INVENTOR (S):

Sekiguchi, Yoshinori; Kanuma, Kosuke; Omodera, Katsunori; Tran, Thuy-anh; Kramer, Bryan Aubrey;

Beeley, Nigel Robert Arnold

PATENT ASSIGNEE(S):

Taisho Pharmaceutical Co., Ltd., Japan

SOURCE:

TITLE

PCT Int. Appl., 1171 pp.

CODEN: PIXXD2

Patent DOCUMENT TYPE: English LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

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PATENT NO.
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                                DATE
                                            APPLICATION NO.
                                                                    DATE
                                20030410
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                                                                    20020930
     WO 2003028641
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             PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
             UA, UG, US, UZ, VN, YU, ZA, ZM, ZW
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
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             FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF,
             CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
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PRIORITY APPLN. INFO.:
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                                            US 2001-326758P
                                                                 Р
                                                                    20011002
                                            WO 2002-US31059
                                                                 W
                                                                    20020930
OTHER SOURCE(S):
                         MARPAT 138:321285
GI
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* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. QLYR1[Q = I, C(:NH)NH2; R1 = (un)substituted alkyl, alkenyl,cycloalkyl, etc.; L = II-IV (wherein R4 = H, alkyl; R5 = H, alkyl, alkyl substituted by a substituted carbocyclic aryl), etc.; Y = SO2, CO, (CH2)m; m = 0-1] which act as MCH receptor antagonists, and are useful for prophylaxis or treatment of obesity, obesity related disorders, anxiety, or depression, were prepd. Thus, hydrogenation of benzyl cis-[4-(4-dimethylaminoquinazolin-2ylamino)cyclohexylmethyl]carbamate followed by reacting the resulting intermediate with 4-bromo-2- trifluoromethoxybenzaldehyde in the presence of NaBH(OAc)3 and AcOH in CH2Cl2, and treatment of the product with 4N HCl in EtOAc afforded 34% cis-V.2HCl which showed IC50 of 6 nM against MCH receptor. IT 510742-20-8P 510744-45-3P 510750-46-6P

511262-80-9P.

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(prepn. of quinazoline-2,4-diamines as MCH receptor antagonists)

RN 510742-20-8 CAPLUS

1H-Pyrazole-4-acetamide, N-[cis-4-[[4-(dimethylamino)-2-CN quinazolinyl]amino]cyclohexyl]-4,5-dihydro-3-methyl-.alpha.-[2-[4-(1methylethyl)phenyl]-2-oxoethyl]-5-oxo-1-phenyl- (9CI) (CA INDEX NAME)

RN 510744-45-3 CAPLUS

CN 1H-Pyrazole-4-acetamide, N-[[cis-4-[[4-(dimethylamino)-2-quinazolinyl]amino]cyclohexyl]methyl]-4,5-dihydro-3-methyl-.alpha.-[2-[4-(1-methylethyl)phenyl]-2-oxoethyl]-5-oxo-1-phenyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 510750-46-6 CAPLUS

CN 3H-Pyrazol-3-one, 4-[1-[[[[cis-4-[[4-(dimethylamino)-2-quinazolinyl]amino]cyclohexyl]methyl]amino]methyl]-3-[4-(1-methylethyl)phenyl]-3-oxopropyl]-2,4-dihydro-5-methyl-2-phenyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 511262-80-9 CAPLUS

CN 3H-Pyrazol-3-one, 4-[1-[[[cis-4-[[4-(dimethylamino)-2-quinazolinyl]amino]cyclohexyl]amino]methyl]-3-hydroxy-3-[4-(1-

methylethyl)phenyl]propyl]-2,4-dihydro-5-methyl-2-phenyl- (9CI) NAME)

Relative stereochemistry.

ANSWER 10 OF 27 ACCESSION NUMBER:

COPYRIGHT 2007 ACS on STN 2002:220534 CAPLUS Full-text

136:263165

DOCUMENT NUMBER: TITLE:

Preparation of 1,2,3,4-tetrahydronaphthalenecarboxamid

e, 1,2,3,4-tetrahydroquinolinecarboxamide,

indanecarboxamides, thiochromancarboxamide, and chromancarboxamide derivatives as C5a receptor

antagonists and medicinal use thereof

Nakamura, Mitsuharu; Kamahori, Takao; Ishibuchi, Seigo; Naka, Yoichi; Sumichika, Hiroshi; Itoh,

Katsuhiko

PATENT ASSIGNEE(S):

Mitsubishi Pharma Corporation, Japan

PCT Int. Appl., 415 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

INVENTOR (S):

Patent

LANGUAGE:

SOURCE:

Japanese

FAMILY ACC. NUM. COUNT:

1

PATENT INFORMATION:

| PA | PATENT NO. | | | | | KIND DATE | | | APPLICATION NO. | | | | | | | | |
|---------|------------|------|------|-----|-----|-----------|------|------|-----------------|------|-------|------|------------|-----|-----|------|-----|
| WO | 2002 | 0225 | 56 | | | | | | | | | | | | 2 | 0010 | 914 |
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| | | LT, | LU, | LV, | MA, | MD, | MG, | MK, | MN, | MW, | MX, | MZ, | NO, | NZ, | PH, | PL, | PT, |
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| | | ВJ, | CF, | CG, | CI, | CM, | GA, | GN, | GQ, | GW, | ML, | MR, | NE, | SN, | TD, | TG | |
| ΑÜ | 2001 | 0880 | 45 | | A5 | | 2002 | 0326 | i | AU 2 | 001- | 8804 | 5 | | 2 | 0010 | 914 |
| CA | 2422 | 342 | | | A1 | | 2003 | 0313 | ٠ (| CA 2 | 001- | 2422 | 342 | | 2 | 0010 | 914 |
| EP | 1318 | 140 | | | A1 | | 2003 | 0611 |] | EP 2 | 001- | 9676 | 32 | | 2 | 0010 | 914 |
| | R: | AT, | BE, | CH, | DE, | DK, | ES, | FR, | GB, | GR, | IT, | LI, | LU, | NL, | SE, | MC, | PT, |
| | | ΙE, | SI, | LT, | LV, | FI, | RO, | MK, | CY, | AL, | TR | | | | | | |
| US | 2004 | 1382 | 23 | | A1 | | 2004 | 0715 | 1 | US 2 | 003- | 3805 | 02 | | 2 | 0030 | 508 |
| PRIORIT | Y APP | LN. | INFO | . : | | | | | , | JP 2 | 000-3 | 2805 | 40 | i | A 2 | 0000 | 914 |
| | | | | | | | | | JP 2000-386813 | | | | A 20001220 | | | | |
| | | | | | | | | | 1 | WO 2 | 001- | JP79 | 77 | 1 | N 2 | 0010 | 914 |

Ι

AB Amide derivs. represented by the following general formula [I; R1, R2, R3, R4 = H, (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, aryl, heteroaryl, arylalkyl, heteroarylalkyl, or alkoxy, aryloxy, arylalkyloxy, (un)substituted acyloxy, halo, NO2, cyano, acyl SH, alkylthio, alkylsulfinyl, NH2, alkylamino, dialkylamino, cyclic amino, (un) substituted CONH2, alkoxycarbonyl, CO2h, acylamino, (un) substituted SO2NH2, haloalkyl; or any two of R1, R2, and R3 together with adjacent carbon atom form a ring; all a, b, c, d, and e is a carbon atom; or one or two of a, b, c, d, and e represent one or two nitrogen atom and the other represent C atoms; R4, R5, R6 = haloalkyloxy, groups listed in R1 - R4; A = H, (un) substituted cycloalkyl, aryl, heteroaryl, or cyclic amino; W1, W2 = a bond, (un) substituted C1-3 alkylene; Y = a single bond, O, CO, NR7, S, SO, SO2, CONR8, NR9CO (wherein R7, R8, R9 = H, (un) substituted alkyl); Z = a single bond, (un) substituted alkylene) or optically active isomers thereof or pharmaceutically acceptable salts thereof are prepd. These compds. are useful as preventives and remedies for diseases or syndromes caused by inflammation induced by C5a, e.g. immunol. diseases such as rheumatism and systemic lupus erythematosus, allergic diseases such as sepsis, adult respiratory distress syndrome, chronic obstructive pulmonary disease and asthma, atherosclerosis, heart infarction, brain infarction, psoriasis, Alzheimer's disease and important organistic breakdown (e.g. pneumonia, nephritis, hepatitis, pancreatitis) induced by leukocyte activation caused by ischemic reperfusion, burn or surgical invasion. Moreover, they are useful as preventives and remedies for infection with bacteria and viruses mediated by C5a receptor. Thus, to a soln. of 3.3 g 1,2,3,4-tetrahydronaphthalene-1carboxylic acid in 20 mL CH2Cl2 was added 2.1 mL SO2Cl2 and the resulting mixt. was refluxed for 3 h, concd. under reduced pressure, dissolved in 10 mL CH2Cl2, treated with a soln. of 5.1 g N-[(4-dimethylaminophenyl)methyl](4isopropylphenyl)amine in 10 mL CH2Cl2 under ice-cooling, warmed to room temp., and stirred overnight to give N-[(4-dimethylaminophenyl)methyl]-N-(4isopropylphenyl)-1,2,3,4- tetrahydronaphthalene-1-carboxamide (II). II inhibited the binding of [1251] -human C5a receptor to human histiocystic lymphoma cell line (U-937) with IC50 of 104 nm/mL. A tablet, a capsule, an injection soln., and an eyedrop formulation contg. II were prepd. IT 405098-47-7P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(prepn. of 1,2,3,4-tetrahydronaphthalenecarboxamide,

1,2,3,4-tetrahydroquinolinecarboxamide, indancarboxamides,

thiochromancarboxamide, and chromancarboxamide derivs. as C5a receptor antagonists and medicinal use thereof)

RN 405098-47-7 CAPLUS

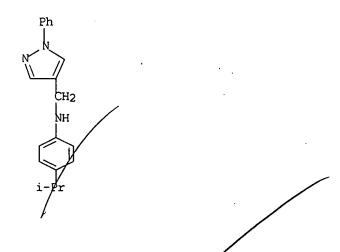
CN 1-Naphthalenecarboxamide, 1,2,3,4-tetrahydro-N-[4-(1-methylethyl)phenyl]-5-(phenylmethoxy)-N-[(1-phenyl-1H-pyrazol-4-yl)methyl]- (9CI) (CA INDEX

IT 405098-48-8P 405100-17-6P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)
 (prepn. of 1,2,3,4-tetrahydronaphthalenecarboxamide,
 1,2,3,4-tetrahydroquinolinecarboxamide, indancarboxamides,
 thiochromancarboxamide, and chromancarboxamide derivs. as C5a receptor
 antagonists and medicinal use thereof)
RN 405098-48-8 CAPLUS
CN 1-Naphthalenecarboxamide, 1,2,3,4-tetrahydro-5-hydroxy-N-[4-(1-methylethyl)phenyl]-N-[(1-phenyl-1H-pyrazol-4-yl)methyl]- (9CI) (CA INDEX)

NAME)

RN 405100-17-6 CAPLUS
CN 1-Naphthalenecarboxamide, 1,2,3,4-tetrahydro-N-[4-(1-methylethyl)phenyl]-N[(1-phenyl-1H-pyrazol-4-yl)methyl]- (9CI) (CA INDEX NAME)

```
IT 405103-42-6, (4-Isopropylphenyl)[(1-phenylpyrazol-4-
    yl)methyl]amine
    RL: RCT (Reactant); RACT (Reactant or reagent)
        (prepn. of 1,2,3,4-tetrahydronaphthalenecarboxamide,
        1,2,3,4-tetrahydroquinolinecarboxamide, indancarboxamides,
        thiochromancarboxamide, and chromancarboxamide derivs. as C5a receptor
        antagonists and medicinal use thereof)
RN 405103-42-6 CAPLUS
CN 1H-Pyrazole-4-methanamine, N-[4-(1-methylethyl)phenyl]-1-phenyl- (9CI)
        (CA INDEX NAME)
```



REFERENCE COUNT:

TITLE:

THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 11 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN ACCESSION NUMBER 2002:142660 CAPLUS Full-text

DOCUMENT NUMBER: 136:200179

Preparation of N,N'-diarylurea derivatives as

complement receptor C5a antagonists

INVENTOR (5): Ishibuchi, Seigo; Sumichika, Hiroshi; Itoh, Katsuhiko;

Naka, Yoichi

PATENT ASSIGNEE(S): Welfide Corporation, Japan

SOURCE: PCT Int. Appl., 90 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | | APPLICATION NO. | DATE |
|------------------------|-----------------|------------------------|---------------|
| | | WO 2001-JP6902 | 20010810 |
| W: AE, AG, AL, | AM, AT, AU, AZ, | BA, BB, BG, BR, BY, BZ | , CA, CH, CN, |
| CO, CR, CU, | CZ, DE, DK, DM, | DZ, EC, EE, ES, FI, GB | , GD, GE, GH, |
| GM, HR, HU, | ID, IL, IN, IS, | JP, KE, KG, KR, KZ, LC | , LK, LR, LS, |
| LT, LU, LV, | MA, MD, MG, MK, | MN, MW, MX, MZ, NO, NZ | , PL, PT, RO, |
| RU, SD, SE, | SG, SI, SK, SL, | TJ, TM, TR, TT, TZ, UA | , UG, US, UZ, |
| VN, YU, ZA, | ZW | , | • |
| RW: GH, GM, KE, | LS, MW, MZ, SD, | SL, SZ, TZ, UG, ZW, AT | , BE, CH, CY, |
| DE, DK, ES, | FI, FR, GB, GR, | IE, IT, LU, MC, NL, PT | , SE, TR, BF, |
| BJ, CF, CG, | CI, CM, GA, GN, | GQ, GW, ML, MR, NE, SN | , TD, TG |
| CA 2418652 | A1 20020221 | CA 2001-2418652 | 20010810 |
| AU 2001077751 | A5 20020225 | AU 2001-77751 | 20010810 |
| EP 1308438 | A1 20030507 | EP 2001-955657 | 20010810 |
| R: AT, BE, CH, | DE, DK, ES, FR, | GB, GR, IT, LI, LU, NL | , SE, MC, PT, |
| IE, SI, LT, | LV, FI, RO, MK, | CY, AL, TR | • |
| US 2003207939 | A1 20031106 | US 2003-343961 | 20030205 |
| US 7105567 | | | |
| PRIORITY APPLN. INFO.: | · | JP 2000-243290 | A 20000810 |
| | • | WO 2001-JP6902 | W 20010810 |
| OTHER SOURCE(S): | MARPAT 136:2001 | 79 | |

$$R^2$$
 R^3
 R^4
 R^4
 R^6
 R^6

AB N,N'-diarylurea derivs. represented by the following general formula [I; R1, R2, R3 = H, (un) substituted alkyl, cycloalkyl, alkenyl, or alkynyl, HO, (un) substituted alkoxy, SH, (un) substituted alkylthio, halo, NO2, cyano, amino, alkylamino, cyclic amino, alkylsulfonyl, CONH2, acylamino, sulfamoyl, acyl, CO2H, alkoxycarbonyl, (un)substituted aryl or heteroaryl; D = a bond, (un) substituted alkylene; A = (un) substituted alkyl, cycloalkyl, aryl, or heteroaryl; R4, R5 = H, (un) substituted alkyl or alkoxy, H0, halo; R6 = H, (un) substituted alkyl or alkoxy, HO, halo; X = O, S] or pharmaceutically acceptable salts thereof are prepd. Because of having a C5a receptor antagonism, these compds. are useful as remedies and preventives for diseases or syndromes induced by C5a, e.g. autoimmune diseases such as rheumatism and systemic lupus erythematosus, allergic diseases such as sepsis, adult respiratory distress syndrome, chronic obstructive pulmonary disease and asthma, atherosclerosis, cardiac infarction, brain infarction, psoriasis, Alzheimer's disease and serious organ injuries by the activation of leukocytes caused by ischemia, trauma, burn, surgical invasion, etc. (for example, pneumonia, nephritis, hepatitis and pancreatitis). Moreover, these compds. are also useful as remedies and preventives for bacterial and viral infections mediated by C5a receptor. Thus, to a soln. of (4-isopropylphenyl)[[1-(4trifluoromethylbenzyl)pyrazol-4-yl]methyl]amine in toluene was added 2,6-

diisopropylphenyl isocyanate and stirred at room temp. overnight to give N'-(2,6-diisopropylphenyl)-N-(4-isopropylphenyl)-N-[[1-(4trifluoromethylbenzyl)pyrazol-4-yl]methyl]urea. N'-(2,6- diisopropylphenyl)-N-[(4-dimethylaminophenyl)methyl]-N-(4- isopropylphenyl)urea 9/10 fumarate showed IC50 of 5 nmol/L for inhibiting the Ca2+ ion increase in C5a-simulated blood neutrophil. Pharmaceutical formulations, e.g. a capsule contg. N'-(2,6diisopropylphenyl)-N-[(4- dimethylaminophenyl)methyl]-N-(4-fluorophenyl)urea. IT 400865-51-2P, N-[[1-(4-Trifluoromethylphenyl)pyrazol-4-yl]methyl]-N-(4-isopropylphenyl).-N'-(2,6-diisopropylphenyl)urea RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (prepn. of diarylurea derivs. as complement receptor C5a antagonists for therapeutic agents) RN 400865-51-2 CAPLUS CN Urea, N'-[2,6-bis(1-methylethyl)phenyl]-N-[4-(1-methylethyl)phenyl]-N-[[1-[4-(trifluoromethyl)phenyl]-1H-pyrazol-4-yl]methyl]- (9CI) NAME) . PAGE 1-A Pr-i NН PAGE 2-A Pr-i

REFERENCE COUNT:

THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 12 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 2001:661400 CAPLUS Full-text

10

DOCUMENT NUMBER: 135:226990

TITLE: Preparation of 4-thiomethylpyrazoles as pesticides

INVENTOR (S):

Wu, Tai-teh; Scribner, Andrew William

PATENT ASSIGNEE(S):

Aventis CropScience SA, Fr.

SOURCE:

PCT Int. Appl., 44 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

GI

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| PA' | PATENT NO. | | | | | KIND DATE | | | APPLICATION NO. | | | | | | DATE | | |
|---------|------------------|------|------|-----|--------------|-----------|------|------|-----------------|------|--------|------|-----|-----|------|------|-----|
| WO | 2001 | 0646 | 51 | | A1 | _ | 2001 | 0907 | | WO 2 | 20.01- | EP23 | 06 | | 2 | 0010 | 301 |
| | W: | ΑE, | AG, | AL, | AM, | AU, | ΑZ, | BA, | BB, | BG, | BR, | ΒY, | ΒZ, | CA, | CN, | CR, | CU, |
| | | CZ, | DM, | DZ, | EE, | GD, | GE, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | KG, | ΚP, | KR, |
| | | KZ, | LC, | LK, | LR, | LT, | LV, | MA, | MD, | MG, | MK, | ·MN, | MX, | NO, | ΝZ, | PL, | RO, |
| | | RU, | SG, | SI, | SK, | ТJ, | TM, | TT, | UA, | US, | UZ, | VN, | YU, | ZA | | | |
| | RW: | GH, | GM, | KE, | LS, | MW, | MZ, | SD, | SL, | SZ | TZ, | UG, | ZW, | AT, | BE, | CH, | CY, |
| | | DE, | DK, | ES, | FI, | FR, | GB, | GR, | ΙE, | TT, | LU, | MC, | NL, | PT, | SE, | TR, | BF, |
| | | ВJ, | CF, | CG, | CI, | CM, | GΑ, | GN, | GW, | ML | MR, | NE, | SN, | TD, | TG | | |
| EP | 1263 | 734 | | | A1 | | 2002 | 1211 | | EP 2 | 2001- | 9193 | 59 | | 2 | 0010 | 301 |
| EP | 1263 | 734 | | | B1 | | 2006 | 0920 | | | | | | | | | |
| | R: | AT, | BE, | CH, | DE, | DK, | ES, | FR, | GB, | GR, | IT, | LI, | LU, | NL, | SE, | MC, | PT, |
| • | | ΙE, | SI, | LT, | LV, | FI, | RO, | MK, | CY, | AL, | TR | | | | | | |
| JP | 2003 | 5252 | 75 | | \mathbf{T} | | 2003 | 0826 | | JP 2 | 2001- | 5634 | 93 | | 2 | 0010 | 301 |
| AT | 3401 | 63 | | | T | | 2006 | 1015 | | AT 2 | 2001- | 9193 | 59 | | 2 | 0010 | 301 |
| US | 2001 | 0538 | 54 | | A1 | | 2001 | 1220 | | US 2 | 2001- | 7966 | 51 | | 2 | 0010 | 302 |
| US | 6458 | 744 | | | В2 | | 2002 | 1001 | | | | | | | | | |
| PRIORIT | Y APP | LN. | INFO | . : | | | | | | US 2 | - 0005 | 1863 | 13P | | P 2 | 0000 | 302 |
| | | | | | | | | | | WO 2 | 2001- | EP23 | 06 | 1 | W 2 | 0010 | 301 |
| OTHER S | OTHER SOURCE(S): | | | | MAR | PAT | 135: | 2269 | 90 | | | | | | | • | |

$$R^{1}SO_{11}$$
 R^{2}
 N
 R^{3}
 R^{4}
 R^{5}
 R^{3}

The title compds. [I; Q = II, III; W = N, CR6; X1X2X3 = CF2CF2O, CF2OCF2, AΒ OCF20; R1 = alkyl, haloalkyl, alkenyl, etc.; R2 = H, halo, (un)substituted NH2; R3, R6 = H, halo; R4 = H, haloalkyl; R5 = H, halo, haloalkyl, etc.; n = 0-2], useful as pesticides, were prepd. Thus, reacting 2-methylbutanethiol with 1-(2,6-dichloro-4-trifluoromethylphenyl)-3-cyano- 4-formylpyrazole with BF3.Et2O in 1,2-dichloroethane followed by addn. of Et3SiH, and then treating the resulting intermediate with DMF afforded I [Q = II; W = CCl; R1 = 2methylbutyl; R2 = NH2; R3 = Cl; R4 = H; R5 = CF3; n = 0]. Biol. data for compds. I were given.

x3.x2

III

IT358760-25-5P 358760-33-5P 358760-94-8P 358761-01-0P 358761-03-2P 358761-18-9P 358761-25-8P 358761-43-0P 358761-60-1P 358761-66-7P 358762-41-1P 358762-43-3P

358762-54-6P 358762-57-9P 358762-61-5P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of 4-thiomethylpyrazoles as pesticides)

RN 358760-25-5 CAPLUS

CN 1H-Pyrazole-3-carbonitrile, 5-amino-1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-4-[[[2-(1-methylethyl)phenyl]thio]methyl]- (9CI) (CA INDEX NAME)

RN 358760-33-5 CAPLUS

CN 1H-Pyrazole-3-carbonitrile, 5-amino-1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-4-[[[4-(1,1-dimethylethyl)phenyl]thio]methyl]-(9CI) (CA INDEX NAME)

RN 358760-94-8 CAPLUS

CN 1H-Pyrazole-3-carbonitrile, 5-amino-1-[2-chloro-4-(trifluoromethyl)phenyl]-4-[[[2-(1-methylethyl)phenyl]thio]methyl]- (9CI) (CA INDEX NAME)

RN 358761-01-0 CAPLUS

CN 1H-Pyrazole-3-carbonitrile, 5-amino-1-[2-chloro-4-(trifluoromethyl)phenyl]-4-[[4-(1,1-dimethylethyl)phenyl]thio]methyl]- (9CI) (CA INDEX NAME)

RN 358761-03-2 CAPLUS

CN 1H-Pyrazole-3-carbonitrile, 5-amino-1-[2-chloro-4-(trifluoromethyl)phenyl]-4-[[[4-(1-methylethyl)phenyl]thio]methyl]- (9CI) (CA INDEX NAME)

RN 358761-18-9 CAPLUS

CN 1H-Pyrazole-3-carbonitrile, 5-amino-1-[2-chloro-5-(trifluoromethyl)phenyl]-4-[[[2-(1-methylethyl)phenyl]thio]methyl]- (9CI) (CA INDEX NAME)

RN 358761-25-8 CAPLUS

CN 1H-Pyrazole-3-carbonitrile, 5-amino-1-[2-chloro-5-(trifluoromethyl)phenyl]-4-[[[4-(1,1-dimethylethyl)phenyl]thio]methyl]- (9CI) (CA INDEX NAME)

RN 358761-43-0 CAPLUS

CN 1H-Pyrazole-3-carbonitrile, 5-amino-4-[[[2-(1-methylethyl)phenyl]thio]methyl]-1-(2,4,6-trichlorophenyl)- .(9CI) (CA INDEX NAME)

RN 358761-60-1 CAPLUS

CN 1H-Pyrazole-3-carbonitrile, 5-amino-1-(2-bromo-4,6-dichlorophenyl)-4-[[[2-

(1-methylethyl)phenyl]thio]methyl]- (9CI) (CA INDEX NAME)

RN 358761-66-7 CAPLUS

CN 1H-Pyrazole-3-carbonitrile, 5-amino-1-(2-bromo-4,6-dichlorophenyl)-4-[[[4-(1,1-dimethylethyl)phenyl]thio]methyl]- (9CI) (CA INDEX NAME)

RN 358762-41-1 CAPLUS

CN 1H-Pyrazole-3-carbonitrile, 5-amino-1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-4-[[[4-(1,1-dimethylethyl)phenyl]sulfonyl]methyl]-(9CI) (CA INDEX NAME)

RN 358762-43-3 CAPLUS

CN 1H-Pyrazole-3-carbonitrile, 5-amino-1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-4-[[[4-(1-methylethyl)phenyl]sulfonyl]methyl]-(9CI) (CA INDEX NAME)

RN 358762-54-6 CAPLUS

CN 1H-Pyrazole-3-carbonitrile, 5-amino-1-[2,6-dichloro-4-(trifluoromethoxy)phenyl]-4-[[[2-(1-methylethyl)phenyl]sulfonyl]methyl]-(9CI) (CA INDEX NAME)

RN 358762-57-9 CAPLUS

CN 1H-Pyrazole-3-carbonitrile, 5-amino-1-[2-chloro-4-(trifluoromethyl)phenyl]-4-[[[4-(1,1-dimethylethyl)phenyl]sulfonyl]methyl]- (9CI) (CA INDEX NAME)

RN 358762-61-5 CAPLUS

CN 1H-Pyrazole-3-carbonitrile, 5-amino-1-(2-bromo-4,6-dichlorophenyl)-4-[[[4-(1-methylethyl)phenyl]sulfonyl]methyl]- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

CORPORATE SOURCE:

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 13 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 2001:620088 CAPLUS Full-text

DOCUMENT NUMBER: 135:357875

OCCUMENT NOMBER. 133.33767

TITLE: 4-Functionally substituted 3-heterylpyrazoles: IV.

1-Phenyl-3-aryl(heteryl)-5-(4-pyrazolyl)-2-pyrazolines

Bratenko, M. K.; Chornous, V. A.; Vovk, M. V.

Bukovinskaya State Medical Academy, Chernovtsy, 58000,

Ukraine

SOURCE/: Russian Journal of Organic Chemistry (Translation of

Zhurnal Organicheskoi Khimii) (2001), 37(4), 556-559

CODEN: RJOCEQ; ISSN: 1070-4280

PUBLISHER: MAIK Nauka/Interperiodica Publishing

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 135:357875

GI

AUTHOR (S)

AB Formylpyrazoles I (R = Ph, 2-thienyl, 5-Me-2-furyl, 3-pyridyl) undergo aldol condensation reactions with Me ketones R1COMe (R1 = Ph, 4-FC6H4, 4-ClC6H4, 4-BrC6H4, 4-EtC6H4, 4-MeOC6H4, 2-furyl, 2-thienyl) to give diaryl

pyrazolylpropenones II (R = Ph, 2-thienyl, 5-Me-2-furyl, 3-pyridyl; R1 = Ph, 4-FC6H4, 4-ClC6H4, 4-BrC6H4, 4-EtC6H4, 4-MeOC6H4, 2-furyl, 2-thienyl) in 78-92% yields. II (R = Ph, 2-thienyl, 5-Me-2-furyl, 3-pyridyl; R1 = Ph, 4-FC6H4, 4-ClC6H4, 4-BrC6H4, 4-EtC6H4, 4-MeOC6H4, 2-furyl, 2-thienyl) undergo cyclocondensation with phenylhydrazine to give diarylpyrazolyl pyrazolines III (R = Ph, 2-thienyl, 5-Me-2-furyl, 3-pyridyl; R1 = Ph, 4-FC6H4, 4-ClC6H4, 4-BrC6H4, 4-EtC6H4, 4-MeOC6H4, 2-furyl, 2-thienyl) in 41-58% yields as potential components of luminescent composite dyes (no data). E.g., 4-bromoacetophenone was added to a soln. of I (R = Ph) in isopropanol; the mixt. was heated at 50.degree. and a 20% ag. sodium hydroxide soln. added; after 30 min. of stirring at 50.degree. and 3 h stirring at 18-20.degree., pptn. yielded II (R = Ph; R1 = 4-BrC6H4) in 92% yield. E.g., phenylhydrazine was added to a soln. of II (R = Ph; R1 = 4-BrC6H4) in acetic acid; the soln. was heated at reflux for 4 h to give III (R = Ph; R1 = 4-BrC6H4) in 53% yield.

IT 372190-43-7P

> RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of diaryl pyrazolylpyrazolines as potential luminescent dye components by aldol condensation of aryl Me ketones with

formylpyrazoles followed by cyclocondensation with phenylhydrazine)

RN 372190-43-7 CAPLUS

> 2-Propen-1-one, 3-(1,3-diphenyl-1H-pyrazol-4-yl)-1-(4-ethylphenyl)- (9CI) (CA INDEX NAME)

CN

REFERENCE COUNT:

THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 14 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN 1.6 ACCESSION NUMBER: 1999:583340 CAPLUS Full-text

DOCUMENT NUMBER: 131:235677

TITLE:

Phenidone compound and silver halide color photographic paper containing the same

INVENTOR(S): Mikoshiba, Takashi; Yoshioka, Yasuhiro PATENT ASSIGNER (S): Fuji Photo Film Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 55 pp.

CODEN: JKXXAF

DOCUMENT TXPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|--------|------------|-----------------|----------|
| | | | | |
| JP 11246785 | Α | 19990914 | JP 1998-49809 | 19980302 |
| PRIORITY APPLN. INFO.: | | | JP 1998-49809 | 19980302 |
| OTHER SOURCE(S): | MARPAT | 131:235677 | | |
| GI | | | • | |

The Ag halide color photog. paper contains the phenidone compd. represented by a general formula I (L = alkylene; R1 = alkyl, aryl; R2, R3 = H, alkyl, aryl; R4-8 = H, substituent) and a cyan coupler represented by a general formula II (Za, Zb = -C(Rc):, -N:; Ra, Rb = electron withdrawing group having Hammett substituent const. .delta.p .gtoreq.0.20; Rc = H, substituent; X = H, coupling group). The photog. paper shows excellent color reprodn. and improved storage stability.

IT 243986-55-2 243986-57-4 243986-58-5 243986-59-6 243986-60-9 243986-63-2 243986-64-3 243986-65-4 243986-66-5

243986-72-3

RL: DEV (Device component use); USES (Uses)

(phenidone compd. in silver halide color photog. paper)

RN 243986-55-2 CAPLUS

CN 3-Pyrazolidinone, 4-[2-[4-cyclohexyl-2-(1,1-dimethylethyl)phenoxy]ethyl]-1-phenyl- (9CI) (CA INDEX NAME)

RN

RN 243986-58-5 CAPLUS
CN 3-Pyrazolidinone, 4-[2-[2,4-bis(1,1,3,3-tetramethylbutyl)phenoxy]ethyl]-1phenyl- (9CI) (CA INDEX NAME)

RN 243986-59-6 CAPLUS
CN 3-Pyrazolidinone, 4-[3-[2,4-bis(1,1-dimethylpropyl)phenoxy]propyl]-1phenyl- (9CI) (CA INDEX NAME)

RN 243986-60-9 CAPLUS

CN 3-Pyrazolidinone, 4-[3-[2,4-bis(1,1-dimethylethyl)phenoxy]propyl]-1-phenyl-(9CI) (CA INDEX NAME)

RN 243986-63-2 CAPLUS

CN 3-Pyrazolidinone, 4-[4-[2,4-bis(1,1-dimethylpropyl)phenoxy]butyl]-1-phenyl-(9CI) (CA INDEX NAME)

RN 243986-65-4 CAPLUS

CN 3-Pyrazolidinone, 4-[2-[2,4-bis(1,1-dimethylethyl)phenoxy]propyl]-1-phenyl-(9CI) (CA INDEX NAME)

RN 243986-66-5 CAPLUS

CN 3-Pyrazolidinone, 4-[8-[2,4-bis(1,1-dimethylpropyl)phenoxy]octyl]-1-phenyl-(9CI) (CA INDEX NAME)

RN 243986-72-3 CAPLUS

CN 3-Pyrazolidinone, 4-[2-[2,4-bis(1,1-dimethylethyl)phenoxy]ethyl]-1-[3-(hexadecyloxy)phenyl]- (9CI) (CA INDEX NAME)

IT 243986-53-0P 243986-54-1P

RL: DEV (Device component use); SPN (Synthetic preparation); PREP (Preparation); USES (Uses)

(phenidone compd. in silver halide color photog. paper)

RN 243986-53-0 CAPLUS

CN 3-Pyrazolidinone, 4-[2-[2,4-bis(1,1-dimethylpropyl)phenoxy]ethyl]-1-phenyl-(9CI) (CA INDEX NAME)

RN 243986-54-1 CAPLUS

CN 3-Pyrazolidinone, 4-[2-[2,4-bis(1,1-dimethylethyl),phenoxy]ethyl]-1-phenyl-(9CI) (CA INDEX NAME)

L6 ANSWER 15 OF 27 CAPLOS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1996:659311 CAPLUS Full-text

DOCUMENT NUMBER: 125:30099

TITLE: Preparation of 2-pyrazoline derivatives as herbicides INVENTOR(S): Araino, Nobuyuki; Miura, Juzo; Oda, Yoshiki; Nishioka,

Hitoshi

PATENT ASSIGNEE(S): Nihon Nohyaku Co Ltd, Japan SOURCE: Jpn. Kokai Tokkyo Koho, 63 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|----------|-----------------|----------|
| | | | | |
| JP 08217777 | Α | 19960827 | JP 1995-46427 | 19950210 |
| PRIORITY APPLN. INFO.: | | | JP 1995-46427 | 19950210 |
| | | | | |

OTHER SOURCE(S): MARPAT 125:300995

$$R = N$$

$$R = R^{2}$$

$$R^{1}$$

$$R^{2}$$

$$R^{2}$$

$$R^{2}$$

$$R^{2}$$

The title compds. [I; R = (un)substituted alkyl or alkenyl or Ph or pyridinyl, etc.; R1, R2 = H, (un)substituted alkyl or alkenyl, etc.; X = halo, NO2, (un)substituted alkyl or amino, etc.; n = 0-5; Z = CH2O] and their intermediates (Z = O, :CH2; others are same as above) are claimed. Herbicides contg. I are effective against Amaranthus lividus, Scirpus juncoides, and Monochoria vaginalis. Thus, trimethylsulfonium iodide was treated with NaH and then reacted with 4-benzoylmethyl-4-ethyl-3-methyl-1- phenyl-2-pyrazolin-5-one to give 55% a mixt. of diastereoisomers I (R = Ph, R1 = Et, R2 = Me, X = H, n = 5, Z = CH2O) (II). Herbicides contg. II at 3 kg/ha preemergence showed 100% herbicidal effect for Amaranthus lividus and Scirpus juncoides.

IT 182873-93-4P 182873-94-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of pyrazoline derivs. as herbicides)

RN 182873-93-4 CAPLUS

CN 3H-Pyrazol-3-one, 4-ethyl-4-[2-(3-ethylphenyl)-2-oxoethyl]-2,4-dihydro-5-methyl-2-phenyl- (9CI) (CA INDEX NAME)

RN 182873-94-5 CAPLUS

CN 3H-Pyrazol-3-one, 4-ethyl-4-[2-(4-ethylphenyl)-2-oxoethyl]-2,4-dihydro-5-methyl-2-phenyl- (9CI) (CA INDEX NAME)

L6 ANSWER 16 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

1996:171879 CAPLUS <u>Full-text</u>

DOCUMENT NUMBER:

124:220541

TITLE:

Corticotropin-releasing factor antagonists for

treatment of stress-related disorders

INVENTOR(S):

Bright, Gene M.; Chen, Yuhpyng L.; Welch, Willard M.,

Jr.

PATENT ASSIGNEE (S):

Pfizer Inc., USA

SOURCE:

Eur. Pat. Appl., 27 pp.

CODEN: EPXXDW -

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|--------|-----------|-------------------------|------------|
| | | | | |
| EP 691128 | A1 | 19960110 | EP 1995-201475 | 19950606 |
| EP 691128 | B1 | 20021211 | | |
| R: AT, BE, CH, | DE, DK | , ES, FR, | GB, GR, IE, IT, LI, LU, | NL, PT, SE |
| US 5646152 | Α | 19970708 | US 1994-259835 | 19940615 |
| AT 229334 | T | 20021215 | AT 1995-201475 | 19950606 |
| PT 691128 | T | 20030228 | PT 1995-201475 | 19950606 |
| ES 2186704 | Т3 | 20030516 | ES 1995-201475 | 19950606 |
| CA 2151674 | Al | 19951216 | CA 1995-2151674 | 19950613 |
| CA 2151674 | С | 19990622 | • | |
| AU 9521691 | Α | 19951221 | AU 1995-21691 | 19950614 |
| AU 701963 | B2 | 19990211 | | |
| JP 08003041 | A | 19960109 | JP 1995-170453 | 19950614 |
| HU 71602 | A2 | 19960129 | HU 1995-1738 | 19950614 |
| ZA 9504921 | Α | 19961217 | ZA 1995-4921 | 19950614 |
| CZ 294696 | B6 | 20050216 | CZ 1995-1537 | 19950614 |
| US 6200979 | B1 | 20010313 | US 1997-796096 | 19970205 |
| PRIORITY APPLN. INFO.: | | | US 1994-259835 | A 19940615 |

AB Substituted pyrazoles and pyrazolopyrimidines (Markush structures is given) have ACTH-releasing factor antagonist activity and are useful in the treatment of a variety of stress-related disorders (no data).

IT 174569-91-6 174569-92-7

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(ACTH-releasing factor antagonists for treatment of stress-related disorders)

RN 174569-91-6 CAPLUS

CN 1-Naphthaleneethanol, 2-[[1-[2,6-dichloro-4-(trifluoromethyl)phenyl]-5-(dimethylamino)-3-ethyl-1H-pyrazol-4-yl]methoxy]- (9CI) (CA INDEX NAME)

RN 174569-92-7 CAPLUS

CN 1-Naphthaleneethanol, 2-[[5-(dimethylamino)-3-(methylthio)-1-(2,4,6-trichlorophenyl)-1H-pyrazol-4-yl]methoxy]- (9CI) (CA INDEX NAME)

closs and

L6 ANSWER 17 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

1994:408893 CAPLUS Full-text

DOCUMENT NUMBER:

121:8893

TITLE:

Phenyl-substituted acrylate ester agrochemical

fungicides

INVENTOR (S):

Mueller, Bernd; Roehl, Franz; Koenig, Hartmann;

Sauter, Hubert; Lorenz, Gisela; Ammermann, Eberhard

PATENT ASSIGNEE(S):

SOURCE:

BASF A.-G., Germany

Eur. Pat. Appl., 86 pp.

CODEN: EPXXDW

DOCUMENT TYPE:

Patent

LANGUAGE:

German

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|--------|-----------|------------------------|------------|
| | | | | |
| EP 581095 | A2 | 19940202 | EP 1993-111103 | 19930712 |
| R: AT, BE, CH, | DE, DK | , ES, FR, | GB, GR, IE, IT, LI, NL | , PT, SE |
| CA 2100546 | A1 | 19940125 | CA 1993-2100546 | 19930714 |
| JP 06211748 | Α | 19940802 | JP 1993-181305 | 19930722 |
| AU 9342121 | A | 19940127 | AU 1993-42121 | 19930723 |
| AU 660226 | B2 | 19950615 | | |
| HU 66105 | A2 | 19940928 | HU 1993-2150 | 19930723 |
| ZA 9305332 | Α | 19950123 | ZA 1993-5332 | 19930723 |
| PRIORITY APPLN. 1NFO.: | | | DE 1992-4224457 | A 19920724 |
| OTHER SOURCE(S): | MARPAT | 121:8893 | | |
| GI | | | | |

$$R^{1}O_{2}C$$
 OR^{2}
 I
 $MeO_{2}C$
 OMe
 II

- The title compds. [I; B = (un)substituted alkyl, C1-4 (un)substituted alkenyl, (un)substituted alkynyl, etc.; R1, R2 = (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, etc.; X, Y = H, halogen, CN, NO2, haloalkyl, alkyl, alkenyl, alkynyl, heteroaryl, heterocyclyl, etc.], useful as agrochem. fungicides, are prepd. and I-contg. formulations presented. Thus, Me .alpha.-(2-hydroxyphenyl)-.beta.-methoxyacrylate was condensed with phenacyl bromide, producing acrylate II, m.p. 76.degree., which demonstrated 90% inhibitory activity against Plasmopara viticola at 250 ppm.
- IT 154594-98-6P 154594-99-7P 154595-00-3P

 RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of, as agrochem. fungicide)
- RN 154594-98-6 CAPLUS
- CN Benzeneacetic acid, .alpha.-(methoxymethylene).-2-[(1-phenyl-1H-pyrazol-4-yl)methoxy]-, methyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

- RN 154594-99-7 CAPLUS
- CN Benzeneacetic acid, .alpha.-(methoxymethylene)-2-[(5-methyl-1-phenyl-1H-pyrazol-4-yl)methoxy]-, methyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN

CN Benzeneacetic acid, 2-[(3,5-dimethyl-1-phenyl-1H-pyrazol-4-yl)methoxy]-.alpha.-(methoxymethylene)-, methyl ester, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

L6 ANSWER 18 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

1994:323576 CAPLUS <u>Full-text</u>

DOCUMENT NUMBER:

120:323576

TITLE:

Heteroaromatic compounds and plant-protecting agents

containing them

INVENTOR (S):

Mueller, Bernd; Sauter, Hubert; Wingert, Horst;

Koenig, Hartmann; Roehl, Franz; Ammermann, Eberhard;

Lorenz, Gisela

PATENT ASSIGNEE(S):

SOURCE:

BASF A.-G., Germany

Eur. Pat. Appl., 124 pp.

CODEN: EPXXDW

DOCUMENT TYPE:

LANGUAGE:

Patent German

FAMILY ACC. NUM/ COUNT:

PATENT INFORMATION:

| PAT | TENT NO. | K | ND | DATE | API | PLICATION NO. | | DATE | | |
|----------|------------|-----------|--------|----------|------------|----------------|--------|----------|--|--|
| | | | | | | | | | | |
| EP | 579071 | 1 | 12 | 19940119 | EP | 1993-110679 | | 19930705 | | |
| EP | 579071 | Ī | 73 | 19970528 | | | | | | |
| | R: AT, B | E, CH, DI | E, DK, | ES, FR, | GB, GI | R, IE, IT, LI, | NL, PI | r, se | | |
| JP | 06184096 | I | 4 | 19940705 | JP | 1993-161424 | | 19930630 | | |
| JP | 3217191 | I | 32 | 20011009 | | | | | | |
| JP | 2002053558 | Ī | A | 20020219 | JP | 2001-144159 | | 19930630 | | |
| IL | 106292 | i | A | 19980816 | $_{	t IL}$ | 1993-106292 | | 19930709 | | |
| CA | 2100308 | ī | 11 | 19940117 | CA | 1993-2100308 | | 19930712 | | |
| UA | 9341937 | 7 | 4 | 19940120 | AU | 1993-41937 | • | 19930715 | | |
| AU | 671457 | I | 32 | 19960829 | | | • | | | |
| ZA | 9305108 | 7 | 4 | 19950116 | ZA | 1993-5108 | | 19930715 | | |
| HU | 68645 | 7 | 12 | 19950728 | HU | 1993-2034 | | 19930715 | | |
| HU | 214281 | 1 | 3 | 19980302 | | | - | | | |
| US | 5663185 | ĵ | Ā | 19970902 | US | 1995-407371 | | 19950320 | | |
| ÜS | 5672616 | Ĭ | A. | 19970930 | US | 1996-720180 | | 19960925 | | |
| US | 5736566 | 1 | A | 19980407 | US | 1997-888899 | | 19970707 | | |
| US | 5817682 | 1 | A. | 19981006 | US | 1997-949761 | | 19971014 | | |
| US | 5962489 | i | Ā | 19991005 | US | 1998-141331 | | 19980827 | | |
| PRIORITY | APPLN. IN | FO.: | | | DE | 1992-4223357 | Α | 19920716 | | |
| | | | | | JР | 1993-161424 | A3 | 19930630 | | |
| | | • | | | US | 1993-91265 | В3 | 19930715 | | |
| | | | | | US | 1995-407371 | B3 | 19950320 | | |
| | | | | | US | 1995-500138 | A3 | 19950710 | | |
| | | | | | | | | | | |

GI

AB Heteroarom. compds. and plant-protecting agents contg. them are claimed. Such more narrowly claimed compds. are 3-pyrazoleacetates, 3-oxazoleacetates, 4-isoxazoleacetates, etc. Example compds. are Me.alpha.-(hydroxyimino)-5-[(2-methylphenoxy)methyl]-4-thiazoleacetate (I) or Me 4-[(2-cyclopropyl-1-oxopropoxy)methyl]-.alpha.-(methoxyimino)-5-isoxazoleacetate (II).

IT 155298-26-3P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of, as plant-protecting agent fungicide)

RN 155298-26-3 CAP/US

CN 1H-Pyrazole-5-acetic acid, .alpha.-(methoxyimino)-4-[[2-methyl-4-[1-[(2-propenyloxy)imino]ethyl]phenoxy]methyl]-1-phenyl-, methyl ester, (E,E)-(9CI) (CA INDEX NAME)

Double bond geometry as shown.

L6 ANSWER 19 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

1990:601214 CAPLUS <u>Full-text</u>

DOCUMENT NUMBER:

123:201214

TITLE:

Direct-positive color photographic material

INVENTOR(S):
PATENT ASSIGNEE(S)
SOURCE:

Deguchi, Hisayasu; Ichijima, Yasushi Fuji Photo Film Co., Ltd., Japan Jpn. Kokai Tokkyo Koho, 35 pp.

CODEN: JKXXAF

DOCUMENT TYPE:

Patent Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|----------|-----------------|----------|
| | | | | |
| JP 02061636 | A | 19900301 | JP 1988-212080 | 19880826 |
| PRIORITY APPLN. INFO.: | | • | JP 1988-212080 | 19880826 |
| GI | | | | • |

$$MS = \begin{bmatrix} X & R^1 \\ X & X \\ [(Y)_{nRZ}]_{m} & I \end{bmatrix}$$

In a photog, material comprising a support and .gtoreq.1 emulsion layer contg. unprefogged internal latent image-type Ag halide grains, the emulsion layers contain .gtoreq.1 A{(L1)vB1}m(L2)wB2 [A = a group splitting off {(L1)vB1}m(L2)wB2 upon reaction with an oxidized developing agent; a, v, w = 0, 1; L1, L2 = a linking group capable of splitting off during development; B1, B2 = a residue capable of reducing the oxidn. products of the developing agent] and .gtoreq.1 compd. having the formula I [M = H, a cation, a protective group for mercapto group split off by alkali; X = atoms required to complete a 5- or 6-membered heterocyclic ring; R = alkylene, alkenylene, arylene; Z = a polar substituent; Y = various divalent atoms and groups; R1 = H, other substituent; n = 0, 1; m = 0, 1, 2].

IT 130339-55-8

RL: USES (Uses)

(direct-pos. photog. material using)

RN 130339-55-8 CAPLUS

CN 2-Naphthalenecarboxamide, N-[4-[2,4-bis(1,1-dimethylpropyl)phenoxy]butyl]1-hydroxy-4-[[4-[[4-hydroxy-3-(1,1,3,3-tetramethylbutyl)phenoxy]methyl]-3methyl-1-(4-nitrophenyl)-1H-pyrazol-5-yl]oxy]-_(9CI) (CA INDEX NAME)

L6 ANSWER 20 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 1990:523724 CAPLUS Full-text

DOCUMENT NUMBER:

113:123724

TITLE:
INVENTOR(S):

Color photographic material Ichijima, Yasushi; Oqawa, Akira

PATENT ASSIGNEE(S):

Fuji Photo Film Co., Ltd., Japan

Jpn. Kokai Tokkyo Koho, 30 pp.

CODEN: JKXXAF

DOCUMENT TYPE:

Patent

LANGUAGE:

SOURCE:

Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|----------|-----------------|----------|
| | | | | |
| JP 02016541 | Α | 19900119 | JP 1988-166030 | 19880705 |
| PRIORITY APPLN./INFO.: | | | JP 1988-166030 | 19880705 |

The title material contains .gtoreq.1 development inhibitor releasing yellow coupler of the formula AL1L2DI [A = a group cleavable from L1L2DI by reaction with an oxidized developer; L1 = a group cleavable from L2DI after cleavage from A; L2 = a group cleavable from DI after cleavage from L1; DI = a development inhibitor or its precursor], and .gtoreq.1 hydrophobic 2-equiv. yellow coupler (mol. wt. 450-720) of the formula R1COCXHCONHAr [R1 = tertiary alkyl, arom.; Ar = arom.; X = group to be released upon reaction with an oxidized developer; a dimer may be formed with R1, Ar, or X becoming a divalent connecting group]. The material shows improved image sharpness.

IT 129340-38-1

RL: USES (Uses)

(photog. development-inhibitor-releasing yellow coupler, color material contg., with improved image sharpness)

RN 129340-38-1 CAPLUS

CN Benzoic acid, 4-chloro-3-[[3-(4-methoxyphenyl)-2-[[3-methyl-4-[[2-[[(5-methyl-1,3,4-oxadiazol-2-yl)thio]methyl]phenoxy]methyl]-1-phenyl-1H-pyrazol-5-yl]oxy]-1,3-dioxopropyl]amino]-, dodecyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me-} (\text{CH}_2)_{11} = \text{O} \\ \text{O} \\ \text{C} \\ \text{NH-C-CH} \\ \text{NN} \\ \text{CH}_2 = \text{S} \\ \text{NN} \\ \text{NN$$

L6 ANSWER 21 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 1990:449675 CAPLUS Full-text

DOCUMENT NUMBER:

113:49675

TITLE:

Color film containing improved development

inhibitor-releasing compound

Nakajo, Kiyoshi; Ichijima, Yasushi; Sakagami, Megumi

Fuji Photo Film Co., Ltd., Japan Jpn. Kokai Tokkyo Koho, 37 pp.

INVENTOR(S): PATENT ASSIGNEE(S):

SOURCE:

CODEN: JKXXAF

DOCUMENT TYPE:

Patent

LANGUAGE:

FAMILY ACC. NUM. COUNT:

Japanese

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE ____ JP 01266540 Α 19891024 JP 1988-95313 19880418

PRIORITY APPLN. INFO.:

JP 1988-95313

19880418

PAGE 1-A

The title full-color photog. material contains .gtoreq.1 development inhibitor-releasing compd., and has a total photosensitive layer thickness at development of .ltoreq.40 .mu.m. The material has improved sharpness.

IT 128103-60-6

RL: USES (Uses)

(photog. development-inhibitor-releasing coupler, color film contg., with improved sharpness)

128103-60-6 CAPLUS RN

CNBenzoic acid, 3,3'-[[2-[[4-[[2-[[[5-[(2-methoxy-1-methyl-2-oxoethyl)thio]-1,3,4-thiadiazol-2-yl]thio]methyl]phenoxy]methyl]-3-methyl-1-(4nitrophenyl)-1H-pyrazol-5-yl]oxy]-1,3-dioxo-1,3-propanediyl]diimino]bis[4chloro-, didodecyl ester (9CI) (CA INDEX NAME)

L6 ANSWER 22 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 1988:560433 CAPLUS Full-text

DOCUMENT NUMBER: 109:160433

TITLE: Development inhibitor-releasing coupler for silver

halide color photographic material

INVENTOR(S): Ishige, Osamu; Kida, Shuji; Nakagawa, Satoshi

PATENT ASSIGNEE(S): Konicá Co., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 28 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|----------|-----------------|----------|
| / | | | | |
| JP 63027840 | Α | 19880205 | JP 1986-170762 | 19860722 |
| PRIORIZY APPLN. INFO.: | | , | JP 1986-170762 | 19860722 |

AB A color photog. material having improved image sharpness and color quality and diminished contamination of developing soln. is claimed which comprises .gtoreq.1 Ag halide emulsion layer contg. a photog. useful group precursor and a compd. which releases a reactive group or an agent/forming a photog. useful group through reaction with the photog. useful group precursor during processing.

IT 116826-62-1

RL: USES (Uses)

(photog. development inhibitor-releasing coupler)

RN 116826-62-1 CAPLUS

CN 2-Naphthalenecarboxamide, 4-[[4-[[[2-butoxy-4-(1,1,3,3-tetramethylbutyl)phenyl]thio]methyl]-3-methyl-1-phenyl-1H-pyrazol-5-yl]oxy]-1-hydroxy-N-[2-(tetradecyloxy)phenyl]- (9CI) (CA INDEX NAME)

L6 ANSWER 23 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1981/150018 CAPLUS Full-text

DOCUMENT NUMBER: 94;/150018

TITLE: Prazolidine derivatives: a comparative study of

their effects on platelet aggregation

AUTHOR(S): Cepelak, V.; Cepelakova, Hana; Brunova, Bohumila;

/ Kuchar, M.; Roubal, Z.

CORPORATE SOURCE: | Fac. Med., Charles Univ., Pilsen, Hung.

SOURCE:

Folia Haematologica (Leipzig) (1979), 106(5-6), 839-48

CODEN: FOHEAW; ISSN: 0323-4347

DOCUMENT TYPE: LANGUAGE: Journal

GI

English

COCH2CHR NPh

AB Phenylbutazone [50-33-9] and 3-oxoalkyl substituted diphenyldioxopyrazolidines I (R = H or CO2H; X = H, Me, Et, OH, halo, etc.) such as kebuzone [853-34-9], tribuzone [13221-27-7], and benzopyrazone [3878-14-6] inhibited primary and secondary platelet aggregation in vitro and ex vivo. The ex vivo effect of these compds. was dependent on the elimination kinetics and blood concn. of the compds. Structure-activity studies indicated that an increase in the alkyl side chain length attached to the Ph ring of I caused a decrease in platelet aggregation inhibitory activity, whereas a halide substitution in the meta position of the pH ring of I increased the inhibitory activity.

IT 20358-35-4 20358-37-6 20358-38-7

20567-54-8

RL: BIOL (Biological study)

(blood platelet aggregation inhibition by, structure in relation to)

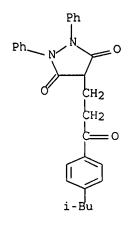
RN 20358-35-4 CAPLUS

CN 3,5-Pyrazolidinedione, 4-[3-[4-(1-methylethyl)phenyl]-3-oxopropyl]-1,2-

diphenyl- (9C/I) (CA INDEX NAME)

RN 20358-37-6 CAPLUS

CN 3,5-Pyrazolidinedione, 4-[3-[4-(2-methylpropyl)phenyl]-3-oxopropyl]-1,2diphenyl- (9CI) (CA INDEX NAME)



RN 20358-38-7 CAPLUS

CN 3,5-Pyrazolidinedione, 4-[3-[4-(1-methylpropyl)phenyl]-3-oxopropyl]-1,2-diphenyl- (9CI) (CA INDEX NAME)

RN 20567-5/4-8 CAPLUS

CN 3,5-Pyrazolidinedione, 4-[3-(4-ethylphenyl)-3-oxopropyl]-1,2-diphenyl-(9CI) (CA INDEX NAME)

L6 ANSWER 24 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1977;5366 CAPLUS Full-text

DOCUMENT NUMBER: 86,5366

TITLE:

SOURCE:

LANGUAGE:

CORPORATE SOURCE:

DOCUMENT TYPE:

Chemistry of heteroanalogs of isoflavones. IV.

Synthesis of pyrazole analogs of isoflavones

AUTHOR(S): Khilya, V. P.; Grishko, L. G.; Zhul, T. I.

Kiev. Gos: Univ. im. Shevchenko, Kiev, USSR

Khimiya Geterotsiklicheskikh Soedinenii (1976), (8),

1108-11

CODEN: KGSSAQ; ISSN: 0132-6244

Journal

Russian

OTHER SOURCE(S): CASREACT 86:5366

GI

R30 0 N

AB Pyrazole analogs of isoflavones I (R1 = CO2Et, CF3, H, R2 = hexyl, C1, R3 = H, Me/ COMe, Et) and II (R2 = H, Me, R3 = Me, H, COMe) were obtained in 71-98% yields by cyclization of the corresponding acetophenone derivs. III, and IV with ClCOCO2Et, (CF3CO)2O, HCO2Me in the presence of NaOCMe3 or by heating with HC(OEt)3 in pyridine.

IT 61033-96-3P 61033-98-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

```
(prepn. and cyclization of)
RN
     61033-96-3 CAPLUS
CN
     Ethanone, 1-(5-hexyl-2,4-dihydroxyphenyl)-2-(1-phenyl-1H-pyrazol-4-yl)-
            (CA INDEX NAME)
     Ph
             (CH2)5-Me
RN
     61033-98-5 CAPLUS
     Ethanone, 1-(5-hexyl-2-hydroxy-4-methexyphenyl)-2-(1-phenyl-1H-pyrazol-4-
CN
     yl) - (9CI) (CA INDEX NAME)
     Ph
       CH<sub>2</sub>
            (CH<sub>2</sub>)5-Me
                       CAPLUS COPYRIGHT 2007 ACS on STN
     ANSWER 25 OF 27
                          1974:133338 CAPLUS Full-text
ACCESSION NUMBER:
DOCUMENT NUMBER:
                          80:133338
                          45 Substituted-1, 2-diphenyl-3, 5-dioxopyrazolidines
TITLE:
AUTHOR (S):
                          Ťisnerova, L.; Kakac, B.; Nemecek, O.
CORPORATE SOURCE:
                          Vyzk. Ustav Farm. Biochem., Prague, Czech.
SOURCE:
                          Collection of Czechoslovak Chemical Communications
                          (1974), 39(2), 624-33
                          CODEN: CCCCAK; ISSN: 0010-0765
DOCUMENT TYPE!
                          Journal
LANGUAGE:
                          English
GI
     For diagram(s), see printed CA Issue.
AΒ
     Four groups of title compds. with potential antiinflammatory activity were
     prepd. Thus, Na salt of 1,2-diphenyl-3,5-dioxopyrazolidine was treated at
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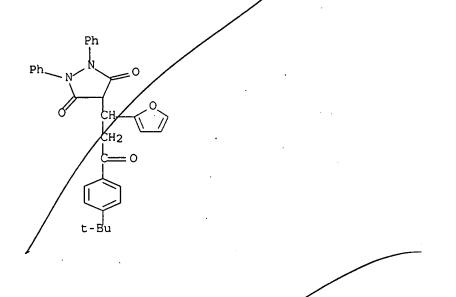
120.degree. in DMF with R1CH2NMe2 or R2COCH:CHR3 to give, resp., I and II (R = Me, Ph, CO2X, aliph. chain, arom. or heterocyclic group). Similarly, some pharmacol. active III [R4 = (CH2)2-COMe, (CH2)2COPh, (CH2)2COCMe3, (CH2)3Me] were treated as above with ClCH2CO2Et or Cl(CH2)2NMe2 and the product worked up with HCl to yield IV [R4 = as above, R5 = CH2CO2H, (CH2)2NMe2.HCl]. In the 4th group, contg. an indole ring, V was prepd. by heating at 80.degree. in anhyd. PhMe in the presence of H3PO4 N-(4-chlorobenzoyl)-N-(4methoxyphenyl)hydrazine-HCl with 1,2-diphenyl-3,5-dioxo-4-(3oxobutyl)pyrazoldine or its 4-carboxymethyl deriv. to give, resp., V (R6 = H) and V (R6 = CH2CO2H). Some compds. of the II group proved pharmacol. most promising.

52479-07-9P IT

> RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)

RN 52479-07-9 CAPLUS

3,5-Pyrazolidinedione, 4-[3-4-(1,1-dimethylethyl)phenyl]-1-(2-furanyl)-3-CN oxopropyl]-1,2-diphenyl- (901) (CA INDEX NAME)



CAPLUS COPYRIGHT 2007 ACS on STN L6 ANSWER 26 OF 27 1969:512852 CAPLUS Full-text ACCESSION NUMBER:

DOCUMENT NUMBER:

72:112852

TITLE: Benzopyrazone [4-(2-benzoylethyl)-1,2-diphenyl-3,5-

pyrazolidinedione] derivatives. II

AUTHOR (S): Brunova, B.; Musil, V.; Horakova, Z.; Nemecek, O.

CORPORATE SOURCE Vyzk. Ustav Farm. Biochem., Prague, Czech.

SOURCE: Cesko-Slovenska Farmacie (1969), 18(1), 28-32

CODEN: CKFRAY; ISSN: 0009-0530

DOCUMENT TYPE: Journal LANGUAGE: Czech

GI For diagram(s), see printed CA Issue.

AB 4-Substituted-2-benzoylethyl-1,2-diphenyl-3,5-pyrazolidinediones (I) were prepd. by reaction of a Mannich base II and the Na salt of 1,2-diphenyl-3,5pyrazolidinedione (III). The mixt. of II and III was heated in methanol, Me2SO4 in methanol added, and the mixt. refluxed 3-4 hrs. and worked up. following I were prepd. (R, m.p., and % yield given): p-Me, 151-2.degree. (95% EtOH), 50.2; p-Et, 130-2.degree. (EtOH), 53.5; p-Pr, 140-2.degree. (70% EtOH), 16.5; p-iso-Pr, 122-3.degree. (80% EtOH), 38; p-Bu, 122-4.degree. (EtOH), 41; p-tert-Bu, 126-7.degree. (EtOH), 36.4; p-sec-Bu, 116-17.degree. (EtOH), 11.4; p-iso-Bu, 136-7.degree. (EtOH), 52.3; 2.5-di-Me, 129-30.degree. (EtOH), 47; 3,4-di-Me, 148.degree. (EtOH), 36.2; 2,4,6-Me3, 123.5.degree. (EtOH), 49.3;

4,3-ClMe, 138-9.degree. (EtOH), 55.5; 2,5-ClMe, 123-5.degree. (EtOH), 51; 3,4-BrMe, 131-3.degree. (benzene-n-hexane), 38; 3-F3C, 128-30.degree. (EtOH), 30; and the following Ia: 5,6,7,8-tetrahydro- .alpha.-naphthyl, 162-4.degree. (EtOH), 23.3; 5,6,7,8-tetrahydro-.beta.- naphthyl, 130-1.degree. (EtOH) 24; and 5-(2,3-dihydro)indenyl, 134-6.degree. (EtOH) 19. The following RCOCH2CH2NMe2.HCl were prepd. (R, m.p., and % yield given): p-tolyl, 176-8.degree. (EtOH-acetone), 51; p-ethylphenyl, 149-50.degree. (EtOH-acetone), 58.2; p-propylphenyl, 140-1.degree. (EtOH-ether), 60.1; p-isopropylphenyl, 161-3.degree. (EtOH-acetone), 42.8; p-butylphenyl, 142-3.degree. (EtOHacetone), 31; p-tert-butylphenyl, 163-5.degree. (EtOH-ether), 67; p-secbutylphenyl, 153-5.degree. (EtOH-ether), 43.5; p-isobutylphenyl, 160-2.degree. (EtOH-ether), 38; 2,5-dimethylphenyl, 151-3.degree. (EtOH-acetone), 46.8; 3,4dimethylphenyl, 193-5.degree. (EtOH-acetone), 87.3; mesityl, 157-9.degree. (EtOH-acetone), 51; .alpha.-naphthyl, 165-6.degree. (EtOH-acetone), 51.7; 5,6,7,8-tetrahydro-.beta.-naphthyl, 165-6.degree. (EtOH-acetone), 31.7; 5-(2,3-dihydro)indenyl, 178.degree. (EtOH-acetone), 55.4; 3-methyl-4chlorophenyl, 185-7.degree. (EtOH), '74.3; 2-chloro-5-methylphenyl, 161-3.degree. (EtOH), 47.5; 3-bromo-4-methylphenyl, 186-7.degree. (EtOH), 88; 3-trifluoromethylphenyl, 136-7.degree. [EtOH-iso-Pr20], 55.7. Some show slight antiinflammatory activity.

IT 20358-35-4P 20358-36-5P 20358-37-6P 20358-38-7P 20358-39-8P 20567-54-8P 23934-90-9P

RN 20358-35-4 CAPLUS

CN

3,5-Pyrazolidinedione, 4=[3-[4-(1-methylethyl)phenyl]-3-oxopropyl]-1,2-diphenyl- (9CI) (CA IMDEX NAME)

RN 20358-36-5 CAPLUS

CN 3,5-Pyrazolidinedione, 4-[2-(p-butylbenzoyl)ethyl]-1,2-diphenyl- (8CI) (CA INDEX NAME)

RN 20358-37-6 CAPLUS

CN 3,5-Pyrazolidinedione, 4-[3-[4-(2-methylpropyl)phenyl]-3-oxopropyl]-1,2-diphenyl- (9CI) (CA INDEX NAME)

RN 20358-38-7 CAPLUS

CN 3,5-Pyrazolidinedione, 4-[3-[4-(1-methylpropyl)phenyl]-3-oxopropyl]-1,2-diphenyl- (9CI) (CA INDEX NAME)

RN 20358-39-8 CAPLUS

CN 3,5-Pyrazolidinedione, 4-[2-(p-tert-butylbenzoyl)ethyl]-1,2-diphenyl-(8CI) (CA INDEX NAME)

RN 20567-54-8 CAPLUS

CN 3,5-Pyrazolidinedione, 4-[3-(4-ethylphenyl)-3-oxopropyl]-1,2-diphenyl-(9CI) (CA INDEX NAME)

RN 23934-90-9 CAPLUS

3,5-Pyrazolidinedione, 1,2-diphenyl-4-[2-(p-propylbenzoyl)ethyl]- (8CI) CN (CA INDEX NAME)

L6 ANSWER 27 OF 27 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1968:496713 CAPLUS Full-text

DOCUMENT NUMBER: 69:96713

4-Substituted 1,2-diphenyl-3,5-dioxopyrazolidines TITLE:

PATENT ASSIGNEE(S): SPOFA, United Pharmaceutical Works

Patent

SOURCE: Brit., 6 pp.

CODEN: BRXXAA

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

DOCUMENT TYPE:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------|------|----------|-----------------|----------|
| | | | | |
| GB 1117679 | | 19680619 | GB 1966-51960 | 19661121 |
| CZ 145219 | • | | CZ | |
| DE 1620440 | | | DE | |
| FR 1513442 | | | FR | |

US 3519640 19700707 US 19661221 PRIORITY APPLN. INFO.: CS 19651223

GI For diagram(s), see printed CA Issue.

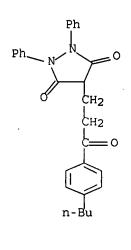
Pyrazolidines and their salts with antiinflammatory, analgesic, fibrinolytic, AB antirheumatic and uricosurgical properties were prepd. To 12.5 g. Na in 750 ml. MeOH is added 126 g. 1,2-diphenyl-3,5- dioxopyrazolidine, the whole added to a soln. of 78.5 g. 1-dimethylamino-4,4-dimethyl-3-pentanone in 200 ml. MeOH, the mixt. refluxed and stirred as a soln. of 62.8 g. Me2SO4 in 150 ml. MeOH is added dropwise over 40-50 min., and the mixt. refluxed and stirred 3 hrs. and worked up to yield 70 g. 1,2-diphenyl-3,5-dioxo-4-(4,4-dimethyl-3 oxopentyl)pyrazolidine, m. 139-40.degree. (dil. HOAc). Also prepd. were the following I (R and m.p. given): 2-FC6H4, 175-7.degree. (EtOH); 3-FC6H4, 149-50.degree:; 4-FC6H4, 106-7.degree.; 2-IC6H4, 135-7.degree.; 3-IC6H4, 114-· 15.degree.; 4-IC6H4, 151-2.degree.; 2-ClC6H4, 125-7.degree.; 3-ClC6H4, 119-20.degree.; 2-BrC6H4, 138-9.degree.; 3-BrC6H4, 119-21.degree.; 3-F3CC6H4, 128-30.degree. (EtOH); 2,5-ClMeC6H3, 118-20.degree. (EtOH); 3,4-BrMeC6H3, 146-8.degree.; 4-MeSC6H4, 126-7.degree.; 2,5-Me2C6H3, 129-30.degree.; 3,4-Me2C6H3, 147-8.degree.; 2,4,6-Me3C6H2, 123-5.degree.; 4-EtC6H4, 130-2.degree.; 4-iso-PrC6H4, 122-3.degree.; 4-BuC6H4, 122-4.degree.; 4-iso-BuC6H4, 136-7.degree.; 4-sec-BuC6H4, 115-16.degree.; 4-tert-BuC6H4, 125-6.degree.; 4-HO2CC6H4, 195-6.degree.; 4-PhCH2OC6H4, 130-1.degree.; 1-adamantyl, 152-3.degree.; and 2thienyl, 148-9.degree.. Also prepd. were the following I (RCOCH2CH2 and m.p. given): 4-methyl-3-oxobutyl, 116-18.degree.; 4-methyl-3-oxohexyl, 101-3.degree.; 1,3-diphenyl-3-oxopropyl, 164-6.degree., 5-indanoylethyl, 134-6.degree.; 6-tetrahydronaphthoylethyl, 129-31.degree.; and 1-naphthoylethyl, 162-4.degree...

RN 20358-35-4 CAPLUS

CN 3,5-Pyrazolidinedione, 4-[3-[4-(1-methylethyl)phenyl]-3-oxopropyl]-1,2-diphenyl- (9CI) (CA INDEX NAME)

RN 20358-36-5 CAPLUS

CN 3,5-Pyrazolidinedione, 4-[2-(p-butylbenzoyl)ethyl]-1,2-diphenyl- (8CI) (CA INDEX NAME)



RN 20358-37-6 CAPLUS

CN 3,5-Pyrazolidinedione, 4-[3-[4-(2-methylpropyl)phenyl]-3-oxopropyl]-1,2-diphenyl- (9CI) /(CA INDEX NAME)

RN 20358-38-7 CAPLUS

CN 3,5-Pyrazolidinedione, 4-[3-[4-(1-methylpropyl)phenyl]-3-oxopropyl]-1,2-diphenyl- (9CI) (CA INDEX NAME)

RN 20358-39-8 CAPLUS

CN 3,5-Pyrazolidinedione, 4-[2-(p-tert-butylbenzoyl)ethyl]-1,2-diphenyl(8CI) (CA INDEX NAME)

RN 20567/-54-8 CAPLUS

CN 3,5-Pyrazolidinedione, 4-[3-(4-ethylphenyl)-3-oxopropyl]-1,2-diphenyl-(9CI) (CA INDEX NAME)

=> s 16 and metabolic disorder

235888 METABOLIC

26 METABOLICS

235909 METABOLIC

(METABOLIC OR METABOLICS)

262014 DISORDER

205038 DISORDERS

415785 DISORDER

(DISORDER OR DISORDERS)

33559 METABOLIC DISORDER

(METABOLIC (W) DISORDER)

L7 2 L6 AND METABOLIC DISORDER

=> s 16 and diabete

58 DIABETE

127789 DIABETES

127793 DIABETE

(DIABETE OR DIABETES)

L8 6 L6 AND DIABETE

=> d 16 and atherosclerosis

'AND' IS NOT A VALID FORMAT FOR FILE 'CAPLUS'

'ATHEROSCLEROSIS' IS NOT A VALID FORMAT FOR FILE 'CAPLUS'

The following are valid formats:

ABS ----- GI and AB

ALL ----- BIB, AB, IND, RE

APPS ----- AI, PRAI

BIB ----- AN, plus Bibliographic Data and PI table (default)

CAN .---- List of CA abstract numbers without answer numbers

CBIB ----- AN, plus Compressed Bibliographic Data

CLASS ----- IPC, NCL, ECLA, FTERM

DALL ----- ALL, delimited (end of each field identified)

DMAX ----- MAX, delimited for post-processing

FAM ----- AN, PI and PRAI in table, plus Patent Family data

FBIB ----- AN, BIB, plus Patent FAM

IND ----- Indexing data

IPC ----- International Patent Classifications

MAX ----- ALL, plus Patent FAM, RE

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PATS ----- PI, SO
SAM ----- CC, SX, TI, ST, IT
SCAN ----- CC, SX, TI, ST, IT (random display, no answer numbers;
             SCAN must be entered on the same line as the DISPLAY,
             e.g., D SCAN or DISPLAY SCAN)
STD ----- BIB, CLASS
IABS ----- ABS, indented with text labels
IALL ----- ALL, indented with text labels
IBIB ----- BIB, indented with text labels
IMAX ----- MAX, indented with text labels
ISTD ----- STD, indented with text labels
OBIB ----- AN, plus Bibliographic Data (original)
OIBIB ----- OBIB, indented with text labels
SBIB ----- BIB, no citations
SIBIB ----- IBIB, no citations
HIT ----- Fields containing hit terms
HITIND ----- IC, ICA, ICI, NCL, CC and index field (ST and IT)
             containing hit terms
HITRN ----- HIT RN and its text modification
HITSTR ----- HIT RN, its text modification, its CA index name, and
             its structure diagram
HITSEQ ----- HIT RN, its text modification, its CA index name, its
             structure diagram, plus NTE and SEQ fields
FHITSTR ---- First HIT RN, its text modification, its CA index name, and
             its structure diagram
FHITSEQ ---- First HIT RN, its text modification, its CA index name, its
             structure diagram, plus NTE and SEQ fields
KWIC ----- Hit term plus 20 words on either side
OCC ----- Number of occurrence of hit term and field in which it occurs
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To display a particular field or fields, enter the display field codes. For a list of the display field codes, enter HELP DFIELDS at an arrow prompt (=>). Examples of formats include: TI; TI,AU; BIB,ST; TI,IND; TI,SO. You may specify the format fields in any order and the information will be displayed in the same order as the format specification.

All of the formats (except for SAM, SCAN, HIT, HITIND, HITRN, HITSTR, FHITSTR, HITSEQ, FHITSEQ, KWIC, and OCC) may be used with DISPLAY ACC to view a specified Accession Number.

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=> s 16 and cardiovascular disorder

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4 CARDIOVASCULARS

100544 CARDIOVASCULAR

(CARDIOVASCULAR OR CARDIOVASCULARS)

262014 DISORDER 205038 DISORDERS

415785 DISORDER

(DISORDER OR DISORDERS)

2165 CARDIOVASCULAR DISORDER

1 L6 AND CARDIOVASCULAR DISORDER

=> s 16 and cardiovascular

100541 CARDIOVASCULAR

4. CARDIOVASCULARS

100544 CARDIOVASCULAR

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L11 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2007 ACS on STN GI

AB Title compds. Q-L1-P-L2-M-X-L3-A [Q = H, (hetero)aryl, alkyl, etc.; L1 = bond, alkylene, heteroalkylene, O, etc.; P = (hetero)arom., cycloalkylene, etc.; L2 = bond, alkylene, heteroalkylene, etc.; M = (hetero)arom., cycloalkylene, arylalkylene, etc.; X = divalent alkyl, (un)substituted-N; O, SOO-2; L3 = bond, alkylene, heteroalkylene, etc.; A = COOH, tetrazolyl, SO3H, PO3H2, etc.; I] are prepd. For instance, (S)-3-[4-((4'-trifluoromethyl-1,1'-biphenyl-3-yl)methoxy)phenyl]hexan-4-ynoic acid (II) is prepd. in 5 steps from (S)-3-(4-hydroxyphenyl)hexan-4-ynoic acid Me ester (prepn. given), 4-(trifluoromethyl)phenylboronic acid and 3-bromobenzoic acid. II has an EC50 < 0.1 .mu.M for human G protein-coupled receptor GPR40. I are useful for the treatment of type II diabetes.

L11 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2007 ACS on STN GI

Title pyrazoles, imidazoles, and (is)oxazoles I [wherein R1 = H, AB (un) substituted alkyl, alkenyl, (hetero) aryl(alkyl), arylheteroalkyl, cycloalkylaryl(alkyl); R2 = absent, (hetero)alkyl; R8 = H, alkyl, alkylenyl, halo; R9 = H, (un)substituted alkyl, alkylenyl, halo, aryl(alkyl), heteroaryl, allyl, alkoxy, alkylthio, etc.; R10, R11 = independently H, OH, CN, NO2, halo, oxo, (un) substituted (halo) alkyl, alkoxy, cycloalkyl, (hetero) aryl (alkyl), cycloalkylaryl(alkyl), aryloxy, acyl, carboxy, amino, sulfamoyl, etc.; R32 = bond, H, halo, (halo)alkyl, alkyloxo; E = (un)substituted carboxy(methyl), tetrazolyl(methyl), nitriloalkyl, carboxamido(methyl), sulfonamido(methyl); U = (un) substituted aliph. linker wherein one C of the linker is optionally replaced with O, NH, or S; X = bond, O, S, SO2, NH; Y = bond, CH2, NH; Z1, Z2 = independently N, O, C, whit the proviso that at least one of Z1 and Z2 = N; Z3 = N, O, C; or stereoisomers, pharmaceutically acceptable salts, solvates, and hydrates thereof] were prepd. as peroxisome proliferator activated receptor (PPAR) modulators (no data). For example, chlorination of [3-methyl-1-(4-trifluoromethylphenyl)-1H-pyrazol-4-yl]methanol with MeSO2Cl and TEA in CH2Cl2, followed by coupling with (4-hydroxy-2- methylphenoxy)acetic acid Me ester using Cs2CO3 in acetonitrile and sapon. with NaOH in MeOH provided II. I and their pharmaceutical compns. are expected to be effective in treating and preventing metabolic disorders, diabetes mellitus, atherosclerosis, and cardiovascular disorders (no data).

II

L11 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2007 ACS on STN GI

$$\begin{array}{c} R^2 \\ R^1 \\ R^1 \end{array}$$

AB Title compds. I [wherein R1 = H, CF3, (un) substituted alkyl, cycloalkyl, heterocyclyl, etc.; R2 = (un)substituted alkyl, amino, COH, etc.; n = 0-6; R11 and R12 = independently H, alkyl, CO2H and derivs., OH and derivs., NH2 and derivs., etc.; their analogs, derivs., solvates or salts] were prepd. for modulating peroxisome proliferator activated receptors (PPARs), in particular as PPAR gamma. agonists, and for treating and/or preventing various diseases and conditions mediated by said nuclear receptors, including metabolic or cell proliferative disorders (no data). For example, 1-phenyl-3-(thiophen-2-yl)-1H-pyrazole-4-carboxaldehyde (prepn. given) was reacted with 1-(4methoxyphenyl)ethanone in isopropanol to give II in 67% yield. II inhibited adipocyte differentiation induced by rosiglitazone by about 68%, demonstrating its antagonistic activity towards human PPAR.gamma.. II induced adipocyte differentiation (25% of rosiglitazone efficacy), proving its human PPAR.gamma. partial agonistic activity. I are useful for treating diabetes, atherosclerosis, hyperglycemia, dyslipidemia, obesity, syndrome X, insulin resistance, hypertension, neuropathy, microvascular diseases (e.g. retinopathy, nephropathy), macrovascular diseases (e.g. myocardial infarction, stroke, heart failure) in mammals. (no data).

=> d ibib abs tot

L11 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 2005:1026833 CAPLUS Full-text

DOCUMENT NUMBER: 143:326090

TITLE: Preparation of arylmethoxyphenyl-alkylcarboxylic acids

and related derivatives for use in treating metabolic

disorders

INVENTOR(S): Akerman, Michelle; Houze, Jonathan; Lin, Daniel C. H.;

> Liu, Jiwen; Luo, Jian; Medina, Julio C.; Qiu, Wei; Reagan, Jeffrey D.; Sharma, Rajiv; Shuttleworth, Stephen J.; Sun, Ying; Zhang, Jian; Zhu, Liusheng

PATENT ASSIGNEE(S):

Amgen Inc., USA; et al. PCT Int. Appl., 163 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent English

LANGUAGE:

SOURCE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

GI

| | | | | | | | | | APPLICATION NO. | | | | | | | | | |
|----------|-------|---|--|---|---|---|--|--|---|--|--|--|--|---|--|--|--|----|
| WO | 2005 | 0866 | 61 | | A2 20050922 A3 20060504 | | 1 | WO 2005-US5815 | | | | | | 00502 | 224 | | | |
| WO | W : | AE, CN, GE, LK, NO, SY, BW, AZ, EE, | AG, CO, GH, LR, NZ, TJ, GH, BY, ES, SE, | AL, CR, GM, LS, OM, TM, GM, KG, FI, | AM, CU, HR, LT, PG, TN, KE, KZ, FR, | AT, CZ, HU, LU, PH, TR, LS, MD, GB, | AU, DE, ID, LV, PL, TT, MW, RU, GR, BF, | AZ, DK, IL, MA, PT, TZ, MZ, TJ, | BA, DM, IN, MD, RO, UA, NA, TM, IE, | DZ, IS, MG, RU, UG, SD, AT, IS, | EC, JP, MK, SC, US, SL, BE, IT, | EE, KE, MN, SD, UZ, SZ, BG, LT, | EG, KG, MW, SE, VC, TZ, CH, LU, | ES, KP, MX, SG, VN, UG, CY, | FI; KR, MZ, SK, YU, ZM, CZ, NL, | GB, KZ, NA, SL, ZA, ZW, DE, PL, | GD, LC, NI, SM, ZM, AM, DK, PT, | ZW |
| ΑU | 2005 | • | , | • | TD, A2 | | | 0922 | | AU 2 | 005- | 2207: | 28 | | 2 | 0050 | 224 | |
| AU | 2005 | 2207 | 28 | | A1 | | 2005 | 0922 | | | | | | | | | | |
| | 2558 | | | | | | | | | CA 2 | 005- | 2558 | 585 | | 2 | 0050 | 224 | |
| | 1737 | | | | | | | | | | | | | | | | | |
| | R: | AT, | BE, | BG, | CH, | CY, | CZ, | DE, | DK, | EE, | ES, | FI, | FR, | GB, | GR, | HU, | ΙE, | |
| | | IS, | IT, | LI, | LT, | LU, | MC, | NL, | PL, | PT, | RO, | SE, | SI, | SK, | TR, | AL, | BA, | |
| | | | LV, | | | | | | , | | | | | | | | | |
| CN | 1946 | 666 | ٠ | | Α | | 2007 | 0411 | | CN 2 | 005- | 8001 | 2709 | | 2 | 0050 | 224 | |
| US | 2006 | 0040 | 12 | | Al | | 2006 | 0105 | | US 2 | 005- | 6737 | 7 | | 2 | 0050 | 225 | |
| MX | 2006 | PA09 | 793 | | Α | | 2006 | 1030 | | MX 2 | 006- | PA97 | 93 | | 2 | 0060 | 828 | |
| | 2007 | | | | | | 2007 | 0621 | | US 2 | 006- | 5912 | 14 | | 2 | 0060 | 828 | |
| NO | 2006 | 0043 | 62 | | Α | | 2006 | 1122 | | NO 2 | 006- | 4362 | | · | 2 | 0060 | 926 | |
| PRIORITY | Y APP | LN. | INFO | .: | • | | | | | US 2 | 004- | 5487 | 41P | | P 2 | 0040 | 227 | |
| | | | | | | | | | | | | 6015 | | | | | | |
| | | | | | | | | | | WO 2 | 005- | US58 | 15 | 1 | W 2 | 0050 | 224 | |
| OTHER SO | OURCE | (S): | | | MAR | PAT | 143: | 3260 | 90 | | | | | | | | | |

Title compds. Q-L1-P-L2-M-X-L3-A [Q = H, (hetero)aryl, alkyl, etc.; L1 = bond, alkylene, heteroalkylene, O, etc.; P = (hetero)arom., cycloalkylene, etc.; L2 = bond, alkylene, heteroalkylene, etc.; M = (hetero)arom., cycloalkylene, arylalkylene, etc.; X = divalent alkyl, (un)substituted-N; O, SOO-2; L3 = bond, alkylene, heteroalkylene, etc.; A = COOH, tetrazolyl, SO3H, PO3H2, etc.; I] are prepd. For instance, (S)-3-[4-((4'-trifluoromethyl-1,1'-biphenyl-3-yl)methoxy)phenyl]hexan-4-ynoic acid (II) is prepd. in 5 steps from (S)-3-(4-hydroxyphenyl)hexan-4-ynoic acid Me ester (prepn. given), 4-(trifluoromethyl)phenylboronic acid and 3-bromobenzoic acid. II has an EC50 < 0.1 .mu.M for human G protein-coupled receptor GPR40. I are useful for the treatment of type II diabetes.

L11 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 2004:606448 CAPLUS Full-text

DOCUMENT NUMBER: 141:157111

TITLE: Preparation of pyrazoles and analogs as PPAR

modulators for treatment of metabolic disorders,

diabetes mellitus, atherosclerosis, and

cardiovascular disorders

INVENTOR(S): Conner, Scott Eugene; Ma, Tianwei; Mantlo, Nathan

Bryan; Mayhugh, Daniel Ray; Schkeryantz, Jeffrey

Michael; Warshawsky, Alan M.; Zhu, Guoxin

PATENT ASSIGNEE(S):

Eli Lilly and Company, USA

SOURCE:

PCT Int. Appl., 214 pp.

DOCUMENT TYPE:

Patent

CODEN: PIXXD2

LANGUAGE:

English .

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| PATENT NO. | KIND DATE | | DATE | | | |
|------------------------|----------------------------|------------------------|------------------|--|--|--|
| WO 2004063166 | A1 20040729 A8 20050303 | WO 2003-US39119 | | | | |
| • | | BA, BB, BG, BR, BW, BY | , BZ, CA, CH, | | | |
| CN, CO, C | R, CU, CZ, DE, DK, | DM, DZ, EC, EE, EG, ES | , FI, GB, GD, . | | | |
| GE, GH, G | M, HR, HU, ID, IL, | IN, IS, JP, KE, KG, KP | , KR, KZ, LC, | | | |
| LK, LR, L | S, LT, LU, LV, MA, | MD, MG, MK, MN, MW, MX | , MZ, NI, NO, | | | |
| NZ, OM, P | G, PH, PL, PT, RO, | RU, SC, SD, SE, SG, SK | , SL, SY, TJ, | | | |
| TM, TN, T | R, TT, TZ, UA, UG, | US, UZ, VC, VN, YU, ZA | , ZM, ZW | | | |
| RW: BW, GH, G | M, KE, LS, MW, MZ, | SD, SL, SZ, TZ, UG, ZM | , ZW, AM, AZ, | | | |
| BY, KG, K | Z, MD, RU, TJ, TM, | AT, BE, BG, CH, CY, CZ | , DE, DK, EE, | | | |
| ES, FI, F | R, GB, GR, HU, IE, | IT, LU, MC, NL, PT, RO | , SE, SI, SK, | | | |
| TR, BF, B | J, CF, CG, CI, CM, | GA, GN, GQ, GW, ML, MR | , NE, SN, TD, TG | | | |
| AU 2003296404 | A1 20040810 | AU 2003-296404 | 20031231 | | | |
| EP 1585733 | A1 20051019 | EP 2003-815195 | 20031231 | | | |
| R: AT, BE, C | H, DE, DK, ES, FR, | GB, GR, IT, LI, LU, NL | , SE, MC, PT, | | | |
| IE, SI, L | T, LV, FI, RO, MK, | CY, AL, BG, CZ, EE, HU | , SK | | | |
| US 2006241157 | A1 20061026 | US 2005-540341 | 20050621 | | | |
| PRIORITY APPLN. INFO.: | | US 2003-438563P | P 20030106 | | | |
| | | WO 2003-US39119 | W 20031231 | | | |
| OTHER COIDER (C). | MADDAT 141.1571 | 11 | | | | |

OTHER SOURCE(S): MARPAT 141:157111

GI

$$E-Y = \begin{vmatrix} R8 & R32 & R1 & R10 \\ \hline & V-U & Z1 & Z2 & R2 & R11 & R10 \\ \hline & & & & & & & & & & & \\ R9 & & & & & & & & & & \\ R9 & & & & & & & & & & \\ R11 & & & & & & & & & \\ R11 & & & & & & & & \\ R11 & & & & & & & & \\ R11 & & & & & & & & \\ R11 & & & & & & & \\ R11 & & & & & & & \\ R11 & & & & & & & \\ R11 & & \\$$

AB Title pyrazoles, imidazoles, and (is)oxazoles I [wherein R1 = H, (un) substituted alkyl, alkenyl, (hetero) aryl(alkyl), arylheteroalkyl, cycloalkylaryl(alkyl); R2 = absent, (hetero)alkyl; R8 = H, alkyl, alkylenyl, halo; R9 = H, (un) substituted alkyl, alkylenyl, halo, aryl(alkyl), heteroaryl, allyl, alkoxy, alkylthio, etc.; R10, R11 = independently H, OH, CN, NO2, halo, oxo, (un) substituted (halo) alkyl, alkoxy, cycloalkyl, (hetero) aryl(alkyl), cycloalkylaryl(alkyl), aryloxy, acyl, carboxy, amino, sulfamoyl, etc.; R32 = bond, H, halo, (halo)alkyl, alkyloxo; E = (un)substituted carboxy(methyl), tetrazolyl(methyl), nitriloalkyl, carboxamido(methyl), sulfonamido(methyl); U = (un)substituted aliph. linker wherein one C of the linker is optionally replaced with O, NH, or S; X = bond, O, S, SO2, NH; Y = bond, CH2, NH; Z1, Z2 = independently N, O, C, whit the proviso that at least one of Z1 and Z2 = N; Z3 = N, O, C; or stereoisomers, pharmaceutically acceptable salts, solvates, and hydrates thereof] were prepd. as peroxisome proliferator activated receptor (PPAR) modulators (no data). For example, chlorination of [3-methyl-1-(4-trifluoromethylphenyl)-1H-pyrazol-4-yl]methanol with MeSO2Cl and TEA in CH2Cl2, followed by coupling with (4-hydroxy-2- methylphenoxy)acetic acid Me ester using Cs2CO3 in acetonitrile and sapon. with NaOH in MeOH provided II. I and their pharmaceutical compns. are expected to be effective in treating and preventing metabolic disorders, diabetes mellitus, atherosclerosis, and cardiovascular disorders (no data).

II

L11 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:430797 CAPLUS Full-text

DOCUMENT NUMBER:

141:7108

TITLE:

Preparation of pyrazoles as modulators of peroxisome

proliferator activated receptors (PPARs), in

particular PPAR gamma. agonists

INVENTOR(S):

Huck, Jacques; Saladin, Regis; Sierra, Michael

PATENT ASSIGNEE(S): Carex SA, Fr.

SOURCE:

PCT Int. Appl., 156 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE | | |
|----------------|--------|--------------|-----------------------|-------------|--|--|
| | | | | | | |
| WO 2004043951 | A1 | 20040527 | WO 2003-EP311855 | 20031024 | | |
| W: AE, AG, AL, | AM, AT | , AU, AZ, BA | . BB, BG, BR, BY, BZ, | CA, CH, CN, | | |

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CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE,
             GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK,
             LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ,
             OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM,
             TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
             KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
             FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                            AU 2003-282051
                                20040603
    AU 2003282051
                          A1
                                                                    20031024
PRIORITY APPLN. INFO.:
                                            EP 2002-360298
                                                                 Α
                                                                    20021024
                                                                    20021220
                                            EP 2002-360372
                                                                 Α
                                            EP 2002-360373
                                                                 Α
                                                                    20021220
                                            US 2003-456954P
                                                                 Ρ
                                                                    20030325
                                            EP 2003-360070-
                                                                 Α
                                                                    20030611
                                            EP 2003-360091
                                                                ·A
                                                                    20030724
                                            WO 2003-EP11855
                                                                    20031024
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OTHER SOURCE(S):

GI

MARPAT 141:7108

$$R^2$$
 $N - (CH_2)_n$
 R^{11}
 R^{12}

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$$

AB Title compds. I [wherein R1 = H, CF3, (un) substituted alkyl, cycloalkyl, heterocyclyl, etc.; R2 = (un)substituted alkyl, amino, COH, etc.; n = 0-6; R11 and R12 = independently H, alkyl, CO2H and derivs., OH and derivs., NH2 and derivs., etc.; their analogs, derivs., solvates or salts] were prepd. for modulating peroxisome proliferator activated receptors (PPARs), in particular as PPAR.gamma. agonists, and for treating and/or preventing various diseases and conditions mediated by said nuclear receptors, including metabolic or cell proliferative disorders (no data). For example, 1-phenyl-3-(thiophen-2-yl)-1H-pyrazole-4-carboxaldehyde (prepn. given) was reacted with 1-(4methoxyphenyl)ethanone in isopropanol to give II in 67% yield. II inhibited adipocyte differentiation induced by rosiglitazone by about 68%, demonstrating its antagonistic activity towards human PPAR.gamma.. II induced adipocyte differentiation (25% of rosiglitazone efficacy), proving its human PPAR.gamma. partial agonistic activity. I are useful for treating diabetes, atherosclerosis, hyperglycemia, dyslipidemia, obesity, syndrome X, insulin resistance, hypertension, neuropathy, microvascular diseases (e.g. retinopathy, nephropathy), macrovascular diseases (e.g. myocardial infarction, stroke, heart failure) in mammals. (no data).

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ANSWER 1 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

2005:1026833 CAPLUS Full-text

DOCUMENT NUMBER:

143:326090

TITLE:

Preparation of arylmethoxyphenyl-alkylcarboxylic acids and related derivatives for use in treating metabolic

disorders

INVENTOR(S): .

Akerman, Michelle; Houze, Jonathan; Lin, Daniel C. H.; Liu, Jiwen; Luo, Jian; Medina, Julio C.; Qiu, Wei; Reagan, Jeffrey D.; Sharma, Rajiv; Shuttleworth, Stephen J.; Sun, Ying; Zhang, Jian; Zhu, Liusheng

PATENT ASSIGNEE(S):

SOURCE:

Amgen Inc., USA; et al. PCT Int. Appl., 163 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| | TENT | | | | KINI | | DATE | | APPLICATION NO. | | | | DATE | | | | | |
|---------|-------|------|------|-----|------|-----|------|------|-----------------|--------------|-------|-------|------|------|------|---------|-----|----|
| WO | 2005 | 0866 | 61 | | A2 | | 2005 | 0922 | | WO 2 | 005- | US58: | 15 | | 2 | 0050 | 224 | |
| WO | 2005 | | | | | | | | | | | | | | | | | |
| | W : | ΑE, | AG, | AL, | AM, | AT; | AU, | AZ, | BA, | BB, | BG, | BR, | BW, | BY, | BZ, | CA, | CH, | |
| | | CN, | CO, | CR, | CU, | CZ, | DE, | DK, | DM, | DZ, | EC, | EE, | EG, | ES, | FI, | GB, | GD, | |
| | | GÉ, | GH, | GM, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | KΕ, | KG, | KP, | KR, | ΚZ, | LC, | |
| | | LK, | LR, | LS, | LT, | LU, | LV, | MA, | MD, | MG, | MK, | MN, | MW, | MX, | MZ, | NA, | NI, | |
| | | NO, | NZ, | OM, | PG, | PH, | PL, | PT, | RO, | RU, | SC, | SD, | SE, | SG, | SK, | SL, | SM, | |
| | | SY. | TJ. | TM. | TN. | TR. | TT, | TZ. | UA. | UG. | US. | UZ. | VC. | VN. | YU. | ZA. | ZM. | ZW |
| | RW: | • | | • | • | | MW, | • | • | | | | • | • | • | • | | |
| | | • | | | • | | RU, | • | • | | - | - | | | | | | |
| | | • | | | • | | GR, | • | • | • | • | • | • | • | • | • | • | |
| | | • | • | • | • | | BF, | • | | • | | • | | • | • | | • | |
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| דו מ | 2005 | • | • | • | • | | 2005 | 0922 | | כ זומ | 005- | 2207 | 2.8 | | 2 | 0050 | 224 | |
| _ | 2005 | | | | | | | | | AU Z | 003 | 2207 | 20 | | ٠. | 0050 | 221 | |
| | 2558 | | | | | | 2005 | | | מא א | 005- | 2550 | E0E | | 2 | 0050 | 224 | |
| - | 1737 | | | | | | 2003 | | | | | | | | | | | |
| EF | | | | | | | | | | | | | | | | | | |
| | R: | | • | • | • | • | CZ, | • | | | • | • | | • | | | | |
| | | - | - | - | - | Ŀυ, | MC, | ΝL, | PЬ, | PT, | RO, | SE, | SI, | SK, | TR, | AL, | BA, | |
| *** | | | LV, | • | | | | | | ~:. ~ | | | | | _ | | | |
| | 1946 | | | | | | 2007 | | | | | | | | | | | |
| | 2006 | | | | | | 2006 | | | | | | | | | 0050 | | |
| | 2006 | | | | | | | | | | | | | | | | | |
| | 2007 | | | | | | 2007 | | | | | | | | | | | |
| ИО | 2006 | 0043 | 62 | | A | | 2006 | 1122 | | NO 2 | 006- | 4362 | | | 2 | 0060 | 926 | |
| PRIORIT | Y APP | LN. | INFO | .: | | | | | | US 2 | 004- | 5487 | 41P | | P .2 | 0040 | 227 | |
| | | | | | | | | | | US 2 | 004- | 6015 | 79P | | P 2 | 0040 | 812 | |
| | | | | | | | | | | WO 2 | 005- | US58 | 1.5 | 1 | W 2 | 0050 | 224 | |
| OTHER S | OURCE | (S): | | | MAR | PAT | 143: | 3260 | 90 | | | | | | | | | |

GI

$$E_{3C}$$
 $C = C - Me$
 $C = C - Me$

AB Title compds. Q-L1-P-L2-M-X-L3-A [Q = H, (hetero)aryl, alkyl, etc.; L1 = bond, alkylene, heteroalkylene, O, etc.; P = (hetero)arom., cycloalkylene, etc.; L2 = bond, alkylene, heteroalkylene, etc.; M = (hetero)arom., cycloalkylene, arylalkylene, etc.; X = divalent alkyl, (un)substituted-N; O, SOO-2; L3 = bond, alkylene, heteroalkylene, etc.; A = COOH, tetrazolyl, SO3H, PO3H2, etc.; I) are prepd. For instance, (S)-3-[4-((4'- trifluoromethyl-1,1'-biphenyl-3yl)methoxy)phenyl]hexan-4-ynoic acid (II) is prepd. in 5 steps from (S)-3-(4hydroxyphenyl) hexan-4-ynoic acid Me ester (prepn. given), 4-(trifluoromethyl)phenylboronic acid and 3-bromobenzoic acid. II has an EC50 < 0.1 .mu.M for human G protein-coupled receptor GPR40. I are useful for the treatment of type II diabetes.

L9 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:995925 CAPLUS Full-text

DOCUMENT NUMBER:

141:424182

TITLE: Preparation of pyrazole-amine compounds useful as

kinase inhibitors

INVENTOR(S): Dyckman, Alaric; Das, Jagabandhu; Leftheris, Katerina;

Liu, Chunjian; Moquin, Robert V.; Wrobleski, Stephen

PATENT ASSIGNEE(S):

Bristol-Myers Squibb Company, USA

SOURCE:

PCT Int. Appl., 52 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| PATENT NO. KIND | | | | | |) | DATE | | | APPLICATION NO. | | | | | DATE | | | |
|-----------------|------------|-----|-----|-----|-----|----------|----------|------|-----------------|-----------------|-----|-----|-----|----------|----------|-----|-----|--|
| | | | | | | | | | | | | | | | | | | |
| WO | 2004098528 | | | | A2 | | 20041118 | | WO 2004-US13786 | | | | | 20040503 | | | | |
| WO | 2004098528 | | | | A3 | | 20050714 | | | | | | | | | | | |
| | W : | ΑE, | AG, | AL, | AM, | AT, | AU, | AZ, | BA, | BB, | BG, | BR, | BW, | BY, | ΒZ, | CA, | CH, | |
| | | CN, | CO, | CR, | CU, | CZ, | DE, | DK, | DM, | DZ, | EC, | EE, | EG, | ES, | FI, | GB, | GD, | |
| | • | GE, | GH, | GM, | HR, | ΗU, | ID, | IL, | IN, | IS, | JP, | KE, | KG, | ΚP, | KR, | ΚZ, | LC, | |
| | | LK, | LR, | LS, | LT, | LU, | LV, | MA, | MD, | MG, | MK, | MN, | MW, | MX, | MZ, | NA, | NI, | |
| | | NO, | NZ, | OM, | PG, | PH, | PL, | PT, | RO, | RU, | SC, | SD, | SE, | SG, | SK, | SL, | SY, | |
| | | TJ, | TM, | TN, | TR, | TT, | TZ, | ÜA, | ŪĠ, | US, | UΖ, | VC, | VN, | YU, | ZA, | ZM, | ZW | |
| | RW: | BW, | GH, | GM, | ΚE, | LS, | MW, | ΜZ, | NA, | SD, | SL, | SZ, | TZ, | UG, | ZM, | ZW, | AM, | |
| | | ΑZ, | BY, | KG, | KZ, | MD, | RU, | TJ, | TM, | ΑT, | BE, | BG, | CH, | CY, | CZ, | DE, | DK, | |
| | | EE, | ES, | FI, | FR, | GB, | GR, | HU, | ΙE, | ΙT, | LU, | MC, | NL, | PL, | PT, | RO, | SE, | |
| | | SI, | SK, | TR, | BF, | ВJ, | CF, | CG, | CI, | CM, | GA, | GN, | GQ, | GW, | ML, | MR, | NE, | |
| | | SN, | TD, | TG | | | | | | | | | | | | | • | |
| US | 2004248853 | | | | A1 | | 2004 | 1209 | 1 | US 2004-838006 | | | | | 20040503 | | | |
| US | 7151113 | | | B2 | | 20061219 | | | | | | | | | | | | |
| US | 2005004176 | | | | A1 | | 2005 | 0106 | 1 | US 2004-837778 | | | | | 20040503 | | | |

US 2005159424 A1 20050721 US 2004-838129 20040503 EP 1620108 A2 20060201 EP 2004-760705 20040503 AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, US 2006247247 **A1** 20061102 US 2006-477010 20060628 PRIORITY APPLN. INFO.: US 2003-467029P 20030501 P US 2004-838006 A3 20040503 WO 2004-US13786 20040503

OTHER SOURCE(S): MARPAT 141:424182

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The title compds. I [G = Ph, pyridyl; W = CH2O, CO2, NHCHR8, CHR8NH, NHCO(CHR8)r (wherein R8 = H, alkyl; r = 0-2); R1 = H, (un)substituted alkyl, aryl, etc.; R2 = H, (un)substituted alkyl, alkoxy, etc.; R3 = H, CF3, OCF3, etc.; R4 = H, (un)substituted alkyl, halo, etc.; R5 = CF3, OCF3, CN, etc.; X = CONH, NHCO, NHCO2, SO2NH, CO2, or is absent; R6 = H, (un)substituted alkyl, alkoxy, etc.; m = 0-3], useful for treating p38 kinase-assocd. conditions (such as inflammatory disorder)in a mammal (no data), were prepd. E.g., a 3-step synthesis of II, starting from 1-phenyl-5-propyl-1H-pyrazole-4-carbonyl chloride, was given.

L9 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:606448 CAPLUS <u>Full-text</u>
DOCUMENT NUMBER: 141:157111

TITLE: Preparation of pyrazoles and analogs as PPAR

modulators for treatment of metabolic disorders,

diabetes mellitus, atherosclerosis, and

cardiovascular disorders

INVENTOR(S): Conner, Scott Eugene; Ma, Tianwei; Mantlo, Nathan

Bryan; Mayhugh, Daniel Ray; Schkeryantz, Jeffrey

Michael; Warshawsky, Alan M.; Zhu, Guoxin

PATENT ASSIGNEE(S): Eli Lilly and Company, USA

SOURCE: PCT Int. Appl., 214 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

| PATENT NO. | | KIND | DATE | APPLICATION NO. | |
|-------------|----|----------|----------|--------------------|-------------------|
| WO 20040631 | 66 | A1 A8 | 20040729 | WO 2003-US39119 | |
| | | | | BA, BB, BG, BR, BW | , BY, BZ, CA, CH, |
| | - | | | DM, DZ, EC, EE, EG | |

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GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
             LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO,
             NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ,
             TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
             BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,
             ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK,
             TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
    AU 2003296404
                                            AU 2003-296404
                                20040810
                                                                   20031231
                          A1
     EP 1585733
                          A1
                                20051019
                                            EP 2003-815195
                                                                    20031231
             AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, BG, CZ, EE, HU, SK
    US 2006241157
                          Α1
                                20061026
                                            US 2005-540341
PRIORITY APPLN. INFO.:
                                            US 2003-438563P
                                                                    20030106
                                            WO 2003-US39119
                                                                 W · 20031231
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MARPAT 141:157111

GI

$$E-Y = \begin{bmatrix} R8 & R32 & R1 & R10 \\ \hline & V-U & Z3 & R2 & R1 \\ \hline & R9 & V-U & Z3 & R2 & R1 \\ \hline & R11 & I & R10 \\ \hline & R9 & V-U & Z3 & R2 & R10 \\ \hline & R11 & I & R10 \\ \hline & R11$$

Title pyrazoles, imidazoles, and (is)oxazoles I [wherein R1 = H, AB (un) substituted alkyl, alkenyl, (hetero) aryl(alkyl), arylheteroalkyl, cycloalkylaryl(alkyl); R2 = absent, (hetero)alkyl; R8 = H, alkyl, alkylenyl, halo; R9 = H, (un)substituted alkyl, alkylenyl, halo, aryl(alkyl), heteroaryl, allyl, alkoxy, alkylthio, etc.; R10, R11 = independently H, OH, CN, NO2, halo, oxo, (un) substituted (halo) alkyl, alkoxy, cycloalkyl, (hetero) aryl (alkyl), cycloalkylaryl(alkyl), aryloxy, acyl, carboxy, amino, sulfamoyl, etc.; R32 = bond, H, halo, (halo)alkyl, alkyloxo; E = (un)substituted carboxy(methyl), tetrazolyl(methyl), nitriloalkyl, carboxamido(methyl), sulfonamido(methyl); U = (un)substituted aliph. linker wherein one C of the linker is optionally replaced with O, NH, or S; X = bond, O, S, SO2, NH; Y = bond, CH2, NH; Z1, Z2 = independently N, O, C, whit the proviso that at least one of Z1 and Z2 = N; Z3 = N, O, C; or stereoisomers, pharmaceutically acceptable salts, solvates, and hydrates thereof] were prepd. as peroxisome proliferator activated receptor (PPAR) modulators (no data). For example, chlorination of [3-methyl-1-(4-trifluoromethylphenyl)-1H-pyrazol-4-yl]methanol with MeSO2Cl and TEA in CH2Cl2, followed by coupling with (4-hydroxy-2- methylphenoxy)acetic acid Me ester using Cs2CO3 in acetonitrile and sapon. with NaOH in MeOH provided II. I and their pharmaceutical compns. are expected to be effective in treating and preventing metabolic disorders, diabetes mellitus, atherosclerosis, and cardiovascular disorders (no data).

L9 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

2004:430797 CAPLUS Full-text

DOCUMENT NUMBER:

141:7108

TITLE:

Preparation of pyrazoles as modulators of peroxisome

proliferator activated receptors (PPARs), in

particular PPAR.gamma. agonists

INVENTOR(S):

Huck, Jacques; Saladin, Regis; Sierra, Michael

PATENT ASSIGNEE(S): Carex SA, Fr.

SOURCE:

GI

PCT Int. Appl.; 156 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

2

FAMILY ACC. NUM. COUNT:

| PATENT | KIN | D | DATE | | | APPI | LICAT | ION I | NO. | | D | ATE | | | |
|--------------|------------|-----|------|-----|------|----------|-------|----------|------------|----------|----------|-----|------------------|------|-----|
| WO 2004 | 043951 | • | A1 | - | 2004 | 0527 | 1 | WO 2 | 2003-1 | EP31 | 1855 | | 2 | 0031 | 024 |
| W : | AE, AG, | AL, | AM, | AT, | AU, | AZ, | BA, | вв | , BG, | BR, | BY, | BZ, | CA, | CH, | CN, |
| | CO, CR, | CU, | CZ, | DE, | DK, | DM, | DZ, | EC, | , EE, | EG, | ES, | FI, | GB, | GD, | GE, |
| , | GH, GM, | HR, | HU, | ID, | ΙL, | IN, | IS, | JP, | , KE, | KG, | ΚP, | KR, | ΚŻ, | LC, | LK, |
| | LR, LS, | LT, | LU, | LV, | MA, | MD, | MG, | MK, | , MN, | MW, | MX, | ΜZ, | NI, | NO, | ΝZ, |
| | OM, PG, | PH, | PL, | PT, | RO, | RU, | SC, | SD | , SE, | SG, | SK, | SL, | SY, | TJ, | TM, |
| | TN, TR, | TT, | TZ, | UA, | ŪG, | US, | UZ, | VC, | , VN, | YU, | ZA, | ZM, | ZW | | |
| , RW: | GH, GM, | KΕ, | LS, | MW, | MZ, | SD, | SL, | SZ | , TZ, | UG, | ZM, | ZW, | AM, | AZ, | BY, |
| | KG, KZ, | MD, | RU, | TJ, | TM, | AT, | BE, | BG, | , CH, | CY, | CZ, | DE, | DK, | EE, | ES, |
| | FI, FR, | GB, | GR, | HU, | ΙE, | IT, | LU, | MC, | , NL, | PT, | RO, | SE, | SI, | SK, | TR, |
| | BF, BJ, | CF, | CG, | CI, | CM, | GA, | GN, | GQ, | , GW, | ML, | MR, | ΝE, | SN, | TD, | TG |
| AU 2003 | 282051 | | A1 | | 2004 | 0603 | | AU 2 | 2003-: | 2820 | 51 | | 2 | 0031 | 024 |
| PRIORITY APP | LN. INFO |).: | | | | | | EP 2 | 2002-: | 3602 | 98 | | A _, 2 | 0021 | 024 |
| | | | | | | | | | 2002-: | | | | | 0021 | |
| | | | | | | | | | 2002-1 | | | | | 0021 | |
| • | | | | | | | | | 2003- | | | | - | 0030 | |
| | | | | | | | | | 2003-: | | | | | 0030 | |
| | | | | | | | | | 2003-: | | | | | 0030 | _ |
| | | | | | | | 1 | WO 2 | 2003-1 | EP11 | 855 | 1 | W 2 | 0031 | 024 |
| OTHER SOURCE | (S): | | MAR | PAT | 141: | 7108 | | | | | | | | | • |

$$\begin{array}{c} R^2 \\ N - (CH_2)_{n} \end{array}$$

AB Title compds. I [wherein R1 = H, CF3, (un) substituted alkyl, cycloalkyl, heterocyclyl, etc.; R2 = (un)substituted alkyl, amino, COH, etc.; n = 0-6; R11 and R12 = independently H, alkyl, CO2H and derivs., OH and derivs., NH2 and derivs., etc.; their analogs, derivs., solvates or salts] were prepd. for modulating peroxisome proliferator activated receptors (PPARs), in particular as PPAR gamma, agonists, and for treating and/or preventing various diseases and conditions mediated by said nuclear receptors, including metabolic or cell proliferative disorders (no data). For example, 1-phenyl-3-(thiophen-2-yl)-1H-pyrazole-4-carboxaldehyde (prepn. given) was reacted with 1-(4methoxyphenyl)ethanone in isopropanol to give II in 67% yield. II inhibited adipocyte differentiation induced by rosiglitazone by about 68%, demonstrating its antagonistic activity towards human PPAR.gamma.. II induced adipocyte differentiation (25% of rosiglitazone efficacy), proving its human PPAR.gamma. partial agonistic activity. I are useful for treating diabetes, atherosclerosis, hyperglycemia, dyslipidemia, obesity, syndrome X, insulin resistance, hypertension, neuropathy, microvascular diseases (e.g. retinopathy, nephropathy), macrovascular diseases (e.g. myocardial infarction, stroke, heart failure) in mammals. (no data).

ANSWER 5 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

2002:220534 CAPLUS Full-text

DOCUMENT NUMBER:

136:263165

TITLE:

Preparation of 1,2,3,4-tetrahydronaphthalenecarboxamid

e, 1,2,3,4-tetrahydroquinolinecarboxamide,

indanecarboxamides, thiochromancarboxamide, and chromancarboxamide derivatives as C5a receptor

antagonists and medicinal use thereof

INVENTOR (S):

Nakamura, Mitsuharu; Kamahori, Takao; Ishibuchi,

Seigo; Naka, Yoichi; Sumichika, Hiroshi; Itoh,

Katsuhiko

PATENT ASSIGNEE(S):

Mitsubishi Pharma Corporation, Japan

SOURCE:

PCT Int. Appl., 415 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT:

| PAT | PATENT NO. | | | | |) | DATE | | . 1 | APPL | ICAT: | ION I | . OI | | D | ATE | |
|----------|------------|-------|------|-----|-----|-----|------|------|-----|------|-------|-------|------|-----|------|------|-----|
| WO | 2002 | 0225: | 56 | | A1 | - | 2002 | 0321 | Ţ | WO 2 | 001- | JP79' | 77 | | 20 | 0010 | 914 |
| | W : | ΑE, | AG, | AL, | AM, | AT, | AU, | ΑZ, | BA, | BB, | BG, | BR, | BY, | BZ, | CA, | CH, | CN, |
| | | CO, | CR, | CU, | CZ, | DE, | DK, | DM, | DZ, | EC, | EE, | ES, | FI, | GB, | GD, | GE, | GH, |
| | | GM, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | KE, | KG, | KR, | KZ, | LC, | LK, | LR, | LS, |
| | | LT, | LU, | LV, | MA, | MD, | MG, | MK, | MN, | MW, | MX, | MZ, | NO, | NZ, | PH, | PL, | PT, |
| | | RO, | RU, | SD, | SE, | SG, | SI, | SK, | SL, | TJ, | TM, | TR, | TT, | TZ, | UA, | UG, | US, |
| | | UZ, | VN, | ΥŲ, | ZA, | ZW, | AM, | ΑZ, | BY, | KG, | KZ, | MD, | RU, | TJ, | TM | | |
| | RW: | GH, | GM, | KE, | LS, | MW, | MZ, | SD, | SL, | SZ, | TZ, | UG, | ZW, | ΑT, | BE, | CH, | CY, |
| | | DE, | DK, | ES, | FI, | FR, | GB, | GR, | IE, | IT, | LU, | MC, | NL, | PT, | SE, | TR, | BF, |
| | | ВJ, | CF, | CG, | CI, | CM, | GA, | GN, | GQ, | GW, | ML, | MR, | NE, | SN, | TD, | TG | |
| AU | 2001 | 0880 | 45 | | A5 | | 2002 | 0326 | i | AU 2 | 001- | 88,04 | 5 | | 20 | 0010 | 914 |
| CA | 2422 | 342 | | | A1 | | 2003 | 0313 | (| CA 2 | 001-2 | 2422 | 342 | | 20 | 0010 | 914 |
| EP | 1318 | 140 | | | A1 | | 2003 | 0611 |] | EP 2 | 001- | 9676 | 32 | | 20 | 0010 | 914 |
| | R: | AT, | BE, | CH, | DE, | DK, | ES, | FR, | GB, | GR, | IT, | LI, | LU, | NL, | SE, | MC, | PT, |
| | | ΙE, | SI, | LT, | LV, | FI, | RO, | MK, | CY, | AL, | TR | | | | | | |
| US | 2004 | 1382 | 23 | | A1 | | 2004 | 0715 | ` 1 | US 2 | 003-3 | 3805 | 02 | | 20 | 0030 | 508 |
| PRIORITY | Y APP | LN. | INFO | . : | | | | | | JP 2 | 000-2 | 2805 | 40 | 2 | A 20 | 0000 | 914 |

MARPAT 136:263165

GI

AΒ Amide derivs. represented by the following general formula [I; R1, R2, R3, R4 = H, (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, aryl, heteroaryl, arylalkyl, heteroarylalkyl, or alkoxy, aryloxy, arylalkyloxy, (un)substituted acyloxy, halo, NO2, cyano, acyl SH, alkylthio, alkylsulfinyl, NH2, alkylamino, dialkylamino, cyclic amino, (un) substituted CONH2, alkoxycarbonyl, CO2h, acylamino, (un) substituted SO2NH2, haloalkyl; or any two of R1, R2, and R3 together with adjacent carbon atom form a ring; all a, b, c, d, and e is a carbon atom; or one or two of a, b, c, d, and e represent one or two nitrogen atom and the other represent C atoms; R4, R5, R6 = haloalkyloxy, groups listed in R1 - R4; A = H, (un) substituted cycloalkyl, aryl, heteroaryl, or cyclic amino; W1, W2 = a bond, (un) substituted C1-3 alkylene; Y = a single bond, O, CO, NR7, S, SO, SO2, CONR8, NR9CO (wherein R7, R8, R9 = H, (un)substituted alkyl); Z = a single bond, (un) substituted alkylene] or optically active isomers thereof or pharmaceutically acceptable salts thereof are prepd: These compds. are useful as preventives and remedies for diseases or syndromes caused by inflammation induced by C5a, e.g. immunol. diseases such as rheumatism and systemic lupus erythematosus, allergic diseases such as sepsis, adult respiratory distress syndrome, chronic obstructive pulmonary disease and asthma, atherosclerosis, heart infarction, brain infarction, psoriasis, Alzheimer's disease and important organistic breakdown (e.g. pneumonia, nephritis, hepatitis, pancreatitis) induced by leukocyte activation caused by ischemic reperfusion, burn or surgical invasion. Moreover, they are useful as preventives and remedies for infection with bacteria and viruses mediated by C5a receptor. Thus, to a soln. of 3.3 g 1,2,3,4-tetrahydronaphthalene-1carboxylic acid in 20 mL CH2Cl2 was added 2.1 mL SO2Cl2 and the resulting mixt. was refluxed for 3 h, concd. under reduced pressure, dissolved in 10 mL CH2Cl2, treated with a soln. of 5.1 g N-[(4-dimethylaminophenyl)methyl](4isopropylphenyl)amine in 10 mL CH2Cl2 under ice-cooling, warmed to room temp., and stirred overnight to give N-[(4-dimethylaminophenyl)methyl]-N-(4isopropylphenyl) - 1,2,3,4-tetrahydronaphthalene-1-carboxamide (II). II inhibited the binding of [1251] -human C5a receptor to human histiocystic lymphoma cell line (U-937) with IC50 of 104 nm/mL. A tablet, a capsule, an injection soln., and an eyedrop formulation contg. II were prepd.

REFERENCE COUNT:

10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 2002:142660 CAPLUS Full-text

DOCUMENT NUMBER:

136:200179

TITLE:

Preparation of N,N'-diarylurea derivatives as

complement receptor C5a antagonists

INVENTOR(S):

Ishibuchi, Seigo; Sumichika, Hiroshi; Itoh, Katsuhiko;

Naka, Yoichi

PATENT ASSIGNEE(S):

Welfide Corporation, Japan

SOURCE:

PCT Int. Appl., 90 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| PA? | PATENT NO. | | | | KIN | D : | DATE | | | APPL | ICAT: | ION I | . 01 | | D | ATE | |
|----------|------------|------|------|-----|------------|-----|------|------|-----|------|-------|-------|------|-----|------|------|-----|
| WO | 2002 | 0142 | 65 | | A1 | | 2002 | 0221 | 1 | WO 2 | 001- | JP69 | 02 - | | 2 | 0010 | 810 |
| | W: | ΑE, | AG, | AL, | AM, | AT, | AU, | ΑZ, | BA, | BB, | BG, | BR, | BY, | BZ, | CA, | CH, | CN, |
| | | CO, | CR, | CU, | CZ, | DE, | DK, | DM, | DZ, | EC, | EE, | ES, | FI, | GB, | GD, | GE, | GH, |
| | | GM, | HR, | HU, | ID, | IL, | IN, | ΙŚ, | JP, | KE, | KG, | KR, | KZ, | LC, | LK, | LR, | LS, |
| | | LT, | LU, | LV, | MA, | MD, | MG, | MK, | MN, | MW, | MX, | MZ, | NO, | NZ, | PL, | PT, | RO, |
| | | RU, | SD, | SE, | SG, | SI, | SK, | SL, | TJ, | TM, | TR, | TT, | TZ, | UA, | UG., | US, | UZ, |
| | | VN, | YU, | ZA, | ZW | | | | | | | | | | | | |
| | RW: | GH, | GM, | KE, | LS, | MW, | MZ, | SD, | SL, | SZ, | TZ, | UG, | ZW, | AT, | BE, | CH, | CY, |
| | | DE, | DK, | ES, | FI, | FR, | GB, | GR, | ΙE, | IT, | LU, | MC, | NL, | PT, | SE, | TR, | BF, |
| | | ВJ, | CF, | CG, | CI, | CM, | GΑ, | GN, | GQ, | GW, | ML, | MR, | NE, | SN, | TD, | TG | |
| CA | 2418 | 652 | | | A1 | | 2002 | 0221 | | CA 2 | 001- | 2418 | 652 | | 2 | 0010 | 810 |
| UA | 2001 | 0777 | 51 | | A 5 | | 2002 | 0225 | | AU 2 | 001- | 7775 | 1 | | 2 | 0010 | 810 |
| EP | 1308 | 438 | | | A1 | | 2003 | 0507 | | EP 2 | 001- | 9556 | 57 | | 2 | 0010 | 810 |
| | R: | ΑT, | BE, | CH, | DE, | DK, | ES, | FR, | GB, | GR, | IT, | LI, | LU, | NL, | SE, | MC, | PT, |
| | | ΙE, | SI, | LT, | LV, | FI, | RO, | MK, | CY, | AL, | TR | | | | | | |
| US | 2003 | 2079 | 39 | | A1 | | 2003 | 1106 | | US 2 | 003- | 3439 | 61 | | 2 | 0030 | 205 |
| US | 7105 | 567 | | | B2 | | 2006 | 0912 | | | | | | | | | |
| PRIORITY | Y APP | LN. | INFO | . : | | | | | | JP 2 | 000- | 2432 | 90 | | A 2 | 0000 | 810 |
| • | | | | | | | | | | WO 2 | 001- | JP69 | 02 | | W 2 | 0010 | 810 |
| OTHER SO | OURCE | (S): | | | MAR | PAT | 136: | 2001 | 79 | | | | | | | | , |

$$R^2$$
 R^3
 R^4
 R^4
 R^6
 R^6

N,N'-diarylurea derivs. represented by the following general formula [I; R1, R2, R3 = H, (un)substituted alkyl, cycloalkyl, alkenyl, or alkynyl, HO, (un)substituted alkoxy, SH, (un)substituted alkylthio, halo, NO2, cyano, amino, alkylamino, cyclic amino, alkylsulfonyl, CONH2, acylamino, sulfamoyl, acyl, CO2H, alkoxycarbonyl, (un)substituted aryl or heteroaryl; D = a bond, (un)substituted alkylene; A = (un)substituted alkyl, cycloalkyl, aryl, or heteroaryl; R4, R5 = H, (un)substituted alkyl or alkoxy, HO, halo; R6 = H, (un)substituted alkyl or alkoxy, HO, halo; X = O, S] or pharmaceutically acceptable salts thereof are prepd. Because of having a C5a receptor antagonism, these compds. are useful as remedies and preventives for diseases or syndromes induced by C5a, e.g. autoimmune diseases such as rheumatism and systemic lupus erythematosus, allergic diseases such as sepsis, adult respiratory distress syndrome, chronic obstructive pulmonary disease and asthma, atherosclerosis, cardiac infarction, brain infarction, psoriasis,

Alzheimer's disease and serious organ injuries by the activation of leukocytes caused by ischemia, trauma, burn, surgical invasion, etc. (for example, pneumonia, nephritis, hepatitis and pancreatitis). Moreover, these compds. are also useful as remedies and preventives for bacterial and viral infections mediated by C5a receptor. Thus, to a soln. of (4-isopropylphenyl)[[1-(4trifluoromethylbenzyl)pyrazol-4-yl]methyl]amine in toluene was added 2,6diisopropylphenyl isocyanate and stirred at room temp. overnight to give N'-(2,6-diisopropylphenyl)-N-(4-isopropylphenyl)-N-[[1-(4trifluoromethylbenzyl)pyrazol-4-yl]methyl]urea. N'-(2,6- diisopropylphenyl)-N-[(4-dimethylaminophenyl)methyl]-N-(4- isopropylphenyl)urea 9/10 fumarate showed IC50 of 5 nmol/L for inhibiting the Ca2+ ion increase in C5a-simulated Pharmaceutical formulations, e.g. a capsule contg. N'-(2,6blood neutrophil. diisopropylphenyl)-N-[(4- dimethylaminophenyl)methyl]-N-(4-fluorophenyl)urea. 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS

REFERENCE COUNT:

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d l8 ibib abs tot

ANSWER 1 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 2005:1026833 CAPLUS Full-text

DOCUMENT NUMBER: 143:326090

TITLE: Preparation of arylmethoxyphenyl-alkylcarboxylic acids

and related derivatives for use in treating metabolic

disorders

INVENTOR(S): Akerman, Michelle; Houze, Jonathan; Lin, Daniel C. H.;

> Liu, Jiwen; Luo, Jian; Medina, Julio C.; Qiu, Wei; Reagan, Jeffrey D.; Sharma, Rajiv; Shuttleworth,

Stephen J.; Sun, Ying; Zhang, Jian; Zhu, Liusheng

Amgen Inc., USA; et al. PATENT ASSIGNEE(\$):

PCT Int. Appl., 163 pp. SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE: Patent English LANGUAGE:

FAMILY ACC. NUM. COUNT:

| PATENT NO. KIND DA | | | | | DATE | | | APPL | ICAT: | ION 1 | . OI | | Di | ATE | | | | |
|--------------------|------|------|-----|-----|------|-----|------|------|-------|-------|-------|------|------|-----|------|------|-----|----|
| WO | 2005 | 0866 | 61 | | A2 | | | | , | WO 2 | 005-1 | US58 | 15 | | 20 | 0050 | 224 | |
| | W: | ΑE, | AG, | AL, | AM, | AT, | AU, | AZ, | BA, | BB, | BG, | BR, | BW, | BY, | ΒZ, | CA, | CH, | |
| | | CN, | CO, | CR, | CU, | CZ, | DE, | DK, | DM, | DZ, | EC, | EE, | EG, | ES, | FI, | GB, | GD, | |
| | | GE, | GH, | GM, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | KE, | KG, | KP, | KR, | KZ, | LC, | |
| | | LK, | LR, | LS, | LT, | LU, | LV, | MA, | MD, | MG, | MK, | MN, | MW, | MX, | MZ, | NA, | NI, | |
| | • | NO, | NZ, | OM, | PG, | PH, | PL, | PT, | RO, | RU, | SC, | SD, | SE, | SG, | SK, | SL, | SM, | |
| | | SY, | TJ, | TM, | TN, | TR, | TT, | TZ, | UA, | UG, | US, | UZ, | VC, | VN, | YU, | ZA, | ZM, | ZW |
| | RW: | BW, | GH, | GM, | KE, | LS, | MW, | MZ, | NA, | SD, | SL, | SZ, | TZ, | UG, | ZM, | ZW, | AM, | |
| | | ΑZ, | BY, | KG, | KZ, | MD, | RU, | ΤĴ, | TM, | AT, | BE, | BG, | CH, | CY, | CZ, | DE, | DK, | |
| | | EE, | ES, | FI, | FR, | GB, | GR, | HU, | ΙE, | IS, | IT, | LT, | LU, | MC, | NL, | PL, | PT, | |
| | | RO, | SE, | SI, | SK, | TR, | BF, | ВJ, | CF, | CG, | CI, | CM, | GA, | GN, | GQ, | GW, | ML, | |
| | | MR, | ΝE, | SN, | TD, | TG | | | | | | | | | | | • | |
| AU | 2005 | 2207 | 28 | | A2 | | 2005 | 0922 | | AU 2 | 005-: | 2207 | 28 | | 2 | 0050 | 224 | |
| ΑU | 2005 | | | | | | | | | | | | | | | | | |
| CA | 2558 | | | | | | | | | CA 2 | | | | | | 0050 | | |
| ΕP | 1737 | 809 | | | A2 | | 2007 | 0103 | | EP 2 | 005- | 7236 | 23 | | . 20 | 0050 | 224 | |
| | R: | - | - | - | | - | | | | EE, | | | - | | - | - | • | |
| | | • | | | | LU, | MC, | NL, | PL, | PT, | RO, | SE, | SI, | SK, | TR, | AL, | BA, | |
| | | • | LV, | • | | | | | | | | | | | | | | • |
| CN | 1946 | 666 | | | Α | | 2007 | 0411 | | CN 2 | 005- | 8001 | 2709 | | 2 | 0050 | 224 | |

| US 2006004012 | · A1 | 20060105 | US | 2005-67377 | | 20050225 |
|------------------------|------|----------|----|--------------|---|----------|
| MX 2006PA09793 | Α | 20061030 | MX | 2006-PA9793 | | 20060828 |
| US 2007142384 | A1 | 20070621 | US | 2006-591214 | | 20060828 |
| NO 2006004362 | Α | 20061122 | NO | 2006-4362 | | 20060926 |
| PRIORITY APPLN. INFO.: | | | US | 2004-548741P | P | 20040227 |
| | | | US | 2004-601579P | P | 20040812 |
| | | | WO | 2005-US5815 | W | 20050224 |

MARPAT 143:326090

GI

$$F_3C$$
 $C = C - Me$
II

AB Title compds. Q-L1-P-L2-M-X-L3-A [Q = H, (hetero)aryl, alkyl, etc.; L1 = bond, alkylene, heteroalkylene, O, etc.; P = (hetero)arom., cycloalkylene, etc.; L2 = bond, alkylene, heteroalkylene, etc.; M = (hetero)arom., cycloalkylene, arylalkylene, etc.; X = divalent alkyl, (un)substituted-N; O, SOO-2; L3 = bond, alkylene, heteroalkylene, etc.; A = COOH, tetrazolyl, SO3H, PO3H2, etc.; I] are prepd. For instance, (S)-3-[4-((4'-trifluoromethyl-1,1'-biphenyl-3-yl)methoxy)phenyl]hexan-4-ynoic acid (II) is prepd. in 5 steps from (S)-3-(4-hydroxyphenyl)hexan-4-ynoic acid Me ester (prepn. given), 4-(trifluoromethyl)phenylboronic acid and 3-bromobenzoic acid. II has an EC50 < 0.1 .mu.M for human G protein-coupled receptor GPR40. I are useful for the treatment of type II diabetes.

L8 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 2005:395278 CAPLUS Full-text

DOCUMENT NUMBER:

142:447209

TITLE:

Preparation of .alpha.-hydroxyimino-.beta.benzylpropanoate derivatives as PPAR.gamma. and

PPAR.alpha. agonists for the treatment of diabetes mellitus and inflammation diseases

INVENTOR(S):

Kim, Geun Tae; Koh, Jong Sung; Han, Hee Oon; Kim,
Seung Hae; Kim, Kyoung-Hee; Chung, Hee-Kyung; Kim,
Yeon Chul; Kim, Misun; Koo, Ki Dong; Yim, Hyeon Joo;
Hur, Gwong-Cheung; Lee, Sun Hwa; Lee, Chang-Seok; Woo,

Sunq Ho

PATENT ASSIGNEE(S):

LG Life Sciences Ltd., S. Korea

SOURCE:

PCT Int. Appl., 211 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

: 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|------|----------|-----------------|----------|
| | | | | |
| WO 2005040127 | A1 | 20050506 | WO 2004-KR2729 | 20041027 |

AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG KR 2005040746 KR 2004-86055 20050503 20041027 PRIORITY APPLN. INFO.: KR 2003-75037 20031027 Α KR 2003-75041 Α 20031027 KR 2003-75046 Α 20031027

OTHER SOURCE(S):

MARPAT 142:447209

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$$A=0$$
 $D=0$
 $B=0$
 $E=0$
 $D=0$
 $D=0$

Title compds. I [wherein A = (un)substituted (cyclo)alkyl, (hetero)aryl, amine, amido, alkoxy, sulfonyl or sulfanyl; B, D, X = H or alkyl; E = H, alkyl or aryl; and pharmaceutically acceptable nontoxic salts, physiol. hydrolyzable esters, hydrates, solvates, isomers or prodrugs thereof] were prepd. as agonists of peroxisome proliferator-activated receptor gamma (PPAR.gamma.) and alpha (PPAR.alpha.). For example, II was synthesized via etherification of the corresponding phenol (prepn. given) with methanesulfonate ester of the pyrazolemethanol (prepn. given) in 40% yield. I were found to be very effective for accelerating the activity of PPAR.gamma. and PPARa with EC50 values of <1 .mu.M and <1000 nM (<100 nM for II), resp. Therefore, I are useful for treating or preventing PPAR.gamma. and PPARa-related diseases, such as diabetes mellitus, its complications and inflammation.

REFERENCE COUNT:

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 2004:995925 CAPLUS <u>Full-text</u>

5

DOCUMENT NUMBER:

141:424182

TITLE:

Preparation of pyrazole-amine compounds useful as kinase inhibitors

INVENTOR (S):

Dyckman, Alaric; Das, Jagabandhu; Leftheris, Katerina; Liu, Chunjian; Moquin, Robert V.; Wrobleski, Stephen

Т.

PATENT ASSIGNEE(S):

Bristol-Myers Squibb Company, USA

SOURCE:

PCT Int. Appl., 52 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| PAT | PATENT NO. | | | KIN |) | DATE | | Ž | APPL | ICAT | ION I | NO. | | D | ATE | | | |
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| WO | 2004 | 0985 | 28 | | A2 | | 2004 | 1118 | 1 | WO 2 | 004-1 | US13' | 786 | | 2 | 0040 | 503 | |
| WO | 2004 | 0985 | 28 | | A 3 | | 2005 | 0714 | | | | | | | | | | |
| | W: | ΑE, | AG, | AL, | AM, | AT, | AU, | ΑZ, | BA, | BB, | BG, | BR, | BW, | BY, | ΒZ, | CA, | CH, | |
| | | CN, | CO, | CR, | CU, | CZ, | DE, | DK, | DM, | DZ, | EC, | EE, | EG, | ES, | FI, | GB, | GD, | |
| | | GE, | GH, | GM, | HR, | HU, | ID, | ΙL, | IN, | IS, | JP, | KE, | KG, | ΚP, | KR, | KZ, | LC, | |
| | | LK, | LR, | LS, | LT, | LU, | LV, | MA, | MD, | MG, | MK, | MN, | MW, | MX, | MZ, | NA, | NI, | |
| | | NO, | NZ, | OM, | PG, | PH, | PL, | PT, | RO, | RU, | SC, | SD, | SE, | SG, | SK, | SL, | SY, | |
| | | TJ, | TM, | TN, | TR, | TT, | TZ, | UA, | UG, | US, | UZ, | VC, | VN, | YU, | ZA, | ZM, | ZW | |
| | RW: | BW, | GH, | GM, | ΚE, | LS, | MW, | MZ, | NA, | SD, | SL, | SZ, | TZ, | ŪĠ, | ZM, | ZW, | AM, | |
| | | ΑZ, | BY, | KG, | KZ, | MD, | RU, | TJ, | TM, | AT, | BE, | BG, | CH, | CY, | CZ, | DE, | DK, | |
| | | EE, | ES, | FI, | FR, | GB, | GR, | HU, | IE, | IT, | LU, | MC, | NL, | PL, | PT, | RO, | SE, | |
| | | SI, | SK, | TR, | BF, | ВJ, | CF, | CG, | CI, | CM, | GA, | GN, | GQ, | GW, | ML, | MR, | NE, | |
| | | SN, | TD, | TG | | | | | | | | | | | | | | |
| US | 2004 | 2488 | 53 | | A1 | | 2004 | 1209 | 1 | US 2 | 004- | 8380 | 06 | | 2 | 0040 | 503 | |
| US | 7151 | 113 | | | B2 | | 2006 | 1219 | | | | | | | | | | |
| US | 2005 | 0041 | 76 | | A 1 | | 2005 | 0106 | 1 | US 2 | 004- | 8377 | 78 | | 2 | 0040 | 503 | |
| US | 2005 | 1594 | 24 | | A1 | | 2005 | 0721 | 1 | US 2 | 004- | 83812 | 29 | | 2 | 0040 | 503 | |
| EP | 1620 | 108 | | | A2 | | 2006 | 0201 | 1 | EP 2 | 004- | 76070 | 05 | | 2 | 0040 | 503 | |
| • | R: | AT, | BE, | CH, | DE, | DK, | ES, | FR, | GΒ, | GR, | IT, | LI, | LU, | NL, | SE, | MC, | PT, | |
| | | ΙE, | SI, | LT, | LV, | FI, | RO, | MK, | CY, | AL, | TR, | BG, | CZ, | EE, | HU, | PL, | SK, | HR |
| US | 2006 | 2472 | 47 | | A 1 | | 2006 | 1102 | 1 | US 2 | 006- | 4770 | 10 | | 2 | 0060 | 528 | |
| PRIORITY | Y APPLN. INFO.: | | | | | 1 | US 2 | 003- | 4670 | 29P |] | P 2 | 0030 | 501 | | | | |
| | | | | | | | | | 1 | US 2 | 004- | 8380 | 06 | 7 | A3 2 | 0040 | 503 | |
| | | | | | | | | | 1 | WO 2 | 004-1 | US13' | 786 | 1 | W 2 | 0040 | 503 | |

OTHER SOURCE(S):

MARPAT 141:424182

GI

The title compds. I [G = Ph, pyridyl; W = CH2O, CO2, NHCHR8, CHR8NH, NHCO(CHR8)r (wherein R8 = H, alkyl; r = 0-2); R1 = H, (un)substituted alkyl, aryl, etc.; R2 = H, (un)substituted alkyl, alkoxy, etc.; R3 = H, CF3, OCF3, etc.; R4 = H, (un)substituted alkyl, halo, etc.; R5 = CF3, OCF3, CN, etc.; X = CONH, NHCO, NHCO2, SO2NH, CO2, or is absent; R6 = H, (un)substituted alkyl, alkoxy, etc.; m = 0-3], useful for treating p38 kinase-assocd. conditions

(such as inflammatory disorder) in a mammal (no data), were prepd. E.g., a 3-step synthesis of II, starting from 1-phenyl-5-propyl-1H-pyrazole-4-carbonyl chloride, was given.

L8 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 2004:606448 CAPLUS Full-text

DOCUMENT NUMBER:

141:157111

TITLE:

Preparation of pyrazoles and analogs as PPAR modulators for treatment of metabolic disorders,

diabetes mellitus, atherosclerosis, and

cardiovascular disorders

INVENTOR(S):

Conner, Scott Eugene; Ma, Tianwei; Mantlo, Nathan Bryan; Mayhugh, Daniel Ray; Schkeryantz, Jeffrey

Michael; Warshawsky, Alan M.; Zhu, Guoxin

PATENT ASSIGNEE(S):

Eli Lilly and Company, USA PCT Int. Appl., 214 pp.

SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 2

| PA' | PATENT NO. | | | | | | DATE | | | APPL | | | | | D. | ATE | | |
|----------|------------|------|--|--|-----|-----|------|------|-----|------|----|----|-----|----|------|-----------|-----|----|
| | 2004 | | | | | | 2004 | 0729 | | | | | | | 2 | 0031 | 231 | |
| | | • | | | | | AU, | | BA. | BB. | BG | BR | RW | RY | B.7. | $C\Delta$ | СН | |
| | | | | | | | DE, | | | | | | | | | | | |
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| | | | | | | | CI, | | | | | | | | | | | TG |
| AU | 2003 | | | | | | | - | | | | | • | | • | 0031 | | |
| | 1585 | | | | | | | | | | | | | | | | | |
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| us | 2006 | | | | | | | | | | | | | | | 0050 | 621 | |
| PRIORIT | | | | | | | | | | | | | 63P | | | | | |
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| OTHER SO | OURCE | (S): | | | MAR | TAS | 141: | 1571 | | | | | | ' | . 2 | | | |

$$E-Y = \begin{vmatrix} R8 & R32 & R1 \\ \hline & V-U & Z3 \\ \hline & R9 & R11 \end{vmatrix}$$

AB Title pyrazoles, imidazoles, and (is)oxazoles I [wherein R1 = H, (un) substituted alkyl, alkenyl, (hetero) aryl(alkyl), arylheteroalkyl, cycloalkylaryl(alkyl); R2 = absent, (hetero)alkyl; R8 = H, alkyl, alkylenyl, halo; R9 = H, (un)substituted alkyl, alkylenyl, halo, aryl(alkyl), heteroaryl, allyl, alkoxy, alkylthio, etc.; R10, R11 = independently H, OH, CN, NO2, halo, oxo, (un) substituted (halo) alkyl, alkoxy, cycloalkyl, (hetero) aryl (alkyl), cycloalkylaryl(alkyl), aryloxy, acyl, carboxy, amino, sulfamoyl, etc.; R32 = bond, H, halo, (halo)alkyl, alkyloxo; E = (un)substituted carboxy(methyl), tetrazolyl(methyl), nitriloalkyl, carboxamido(methyl), sulfonamido(methyl); U = (un) substituted aliph. linker wherein one C of the linker is optionally replaced with O, NH, or S; X = bond, O, S, SO2, NH; Y = bond, CH2, NH; Z1, Z2 = independently N, O, C, whit the proviso that at least one of Z1 and Z2 = N; Z3 = N, O, C; or stereoisomers, pharmaceutically acceptable salts, solvates, and hydrates thereof] were prepd. as peroxisome proliferator activated receptor (PPAR) modulators (no data). For example, chlorination of [3-methyl-1-(4-trifluoromethylphenyl)-1H-pyrazol-4-yl]methanol with MeSO2Cl and TEA in CH2Cl2, followed by coupling with (4-hydroxy-2- methylphenoxy)acetic acid Me ester using Cs2CO3 in acetonitrile and sapon. with NaOH in MeOH provided II. I and their pharmaceutical compns. are expected to be effective in treating and preventing metabolic disorders, diabetes mellitus, atherosclerosis, and cardiovascular disorders (no data).

II

L8 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 2004:430797 CAPLUS Full-text

DOCUMENT NUMBER: 141:7108

TITLE: Preparation of pyrazoles as modulators of peroxisome

proliferator activated receptors (PPARs), in

particular PPAR.gamma. agonists

INVENTOR(S): Huck, Jacques; Saladin, Regis; Sierra, Michael

PATENT ASSIGNEE(S): Carex SA, Fr.

SOURCE: PCT Int. Appl., 156 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----------------|--------|------------|---------------------|-------------|
| | | | | |
| WO 2004043951 | A1 | 20040527 | WO 2003-EP311855 | 20031024 |
| W: AE, AG, AL, | AM, AT | AU, AZ, BA | BB. BG. BR. BY. BZ. | CA. CH. CN. |

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CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE,
             GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK,
             LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ,
             OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM,
             TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
             KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
             FI, FR, GB, GR, HU, IE, IT; LU, MC, NL, PT, RO, SE, SI, SK, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NÉ, SN, TD, TG
    AU 2003282051
                          A1
                                20040603
                                            AU 2003-282051
                                                                    20031024
PRIORITY APPLN. INFO.:
                                            EP-2002-360298
                                                                 Α
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                                            EP 2002-360372
                                                                 Α
                                                                    20021220
                                            EP 2002-360373
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                                            EP 2003-360070
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                                                                    20030611
                                            EP 2003-360091
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                                            WO 2003-EP11855
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                                                                    20031024
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MARPAT 141:7108

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$$\begin{array}{c|c} R2 & R11 & R12 \\ \hline N- (CH_2)_{n} & & & \\ \hline \end{array}$$

AB Title compds. I [wherein R1 = H, CF3, (un) substituted alkyl, cycloalkyl, heterocyclyl, etc.; R2 = (un)substituted alkyl, amino, COH, etc.; n = 0-6; R11 and R12 = independently H, alkyl, CO2H and derivs., OH and derivs., NH2 and derivs., etc.; their analogs, derivs., solvates or salts] were prepd. for modulating peroxisome proliferator activated receptors (PPARs), in particular as PPAR gamma. agonists, and for treating and/or preventing various diseases and conditions mediated by said nuclear receptors, including metabolic or cell proliferative disorders (no data). For example, 1-phenyl-3-(thiophen-2-yl)-1H-pyrazole-4-carboxaldehyde (prepn. given) was reacted with 1-(4methoxyphenyl)ethanone in isopropanol to give II in 67% yield. II inhibited adipocyte differentiation induced by rosiglitazone by about 68%, demonstrating its antagonistic activity towards human PPAR.gamma.. II induced adipocyte differentiation (25% of rosiglitazone efficacy), proving its human PPAR.gamma. partial agonistic activity. I are useful for treating diabetes, atherosclerosis, hyperglycemia, dyslipidemia, obesity, syndrome X, insulin resistance, hypertension, neuropathy, microvascular diseases (e.g.

retinopathy, nephropathy), macrovascular diseases (e.g. myocardial infarction, stroke, heart failure) in mammals. (no data).

ANSWER 6 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN ACCESSION NUMBER:

2003:951003 CAPLUS Full-text

DOCUMENT NUMBER:

140:16723

TITLE:

possible interfers Preparation of 1,2-azole derivatives with hypoglycemic 10/517,214

102e/102A,

DATE

and hypolipidemic activity

INVENTOR(S):

Maekawa, Tsuyoshi; Hara, Ryoma; Odaka, Hiroyuki; Kimura, Hiroyuki; Mizufune, Hideya; Fukatsu, Kohji

APPLICATION NO

PATENT ASSIGNEE(S):

Takeda Chemical Industries, Ltd., Japan; Takeda

Pharmaceutical Company Limited

SOURCE:

PCT Int. Appl., 564 pp.

DATE

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

KTND

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.

| PA | TENI | NO. | | | KINI | ט | DATE | | | APPL | ICAT | TON | NO. | | D. | A.I.E. | |
|---------|-------|------|------|--------------|------------|-----|------|------|-----|------|------|------|-----|-----|-----|--------|-----|
| | | | | | | - | | | | | | | | | - | | |
| | 2003 | | | | A1 | | | 1204 | | WO 2 | 003- | JP63 | 89 | | 2 | 0030 | 522 |
| WO | 2003 | 0997 | 93 | | A8 | | 2004 | 1229 | | | | | | | | | |
| WO | 2003 | 0997 | 93 | | A9 | | 2005 | 0210 | | | | | | | | | |
| | W: | ΑE, | AG, | AL, | AM, | ΑT, | AU, | AZ, | BA, | BB, | BG, | BR, | BY, | BZ, | CA, | CH, | CN, |
| | | CO, | CR, | CU, | CZ, | DE, | DK, | DM, | DZ, | EC, | EE, | ES, | FI, | GB, | GD, | GE, | GH, |
| | | GM, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | ΚE, | KG, | KR, | ΚZ, | LC, | LK, | LR, | LS, |
| | | LT, | LU, | LV, | MA, | MD, | MG, | MK, | MN, | MW, | MX, | MZ, | NI, | NO, | NZ, | OM, | PH, |
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| | RW: | GH, | GM, | KE, | LS, | MW, | MZ, | SD, | SL, | SZ, | TZ, | UG, | ZM, | ZW, | AM, | AZ, | BY, |
| • | | KG, | KZ, | MD, | RU, | TJ, | TM, | ΑT, | BE, | BG, | CH, | CY, | CZ, | DE, | DK, | EE, | ES, |
| | | FI, | FR, | GB, | GR, | HU, | ΙE, | IT, | LU, | MC, | NL, | PT, | RO, | SE, | SI, | SK, | TR, |
| | | BF, | ВJ, | CF, | CG, | CI, | CM, | GA, | GN, | GQ, | GW, | ML, | MR, | NE, | SN, | TD, | TG |
| CA | 2487 | 315 | | | A1 | | 2003 | 1204 | | CA 2 | 003- | 2487 | 315 | | 2 | 0030 | 522 |
| AU | 2003 | 2411 | 73 | | A1 | | 2003 | 1212 | | AU 2 | 003- | 2411 | 73 | | 2 | 0030 | 522 |
| JP | 2004 | 2773 | 97 | | Α | | 2004 | 1007 | 1 | JP 2 | 003- | 1449 | 84 | | 2 | 0030 | 522 |
| EP | 1513 | 817 | | • | A 1 | | 2005 | 0316 | | EP 2 | 003- | 7305 | 7,5 | | 2 | 0030 | 522 |
| | R: | AT, | BE, | CH, | DE, | DK, | ES, | FR, | GB, | GR, | IT, | LI, | LU, | NL, | SE, | MC, | PT, |
| | | IE, | SI, | LT, | LV, | FI, | RO, | MK, | CY, | AL, | TR, | BG, | CZ, | EE, | HU, | SK | |
| US | 2006 | 1488 | 58 | | A1 | | 2006 | 0706 | . 1 | US 2 | 005- | 5172 | 14 | | 2 | 0050 | 301 |
| PRIORIT | Y APP | LN. | INFO | . : . | | | | | . 1 | JP 2 | 002- | 1514 | 05 | i | A 2 | 0020 | 524 |
| · | | | | | | | | | 1 | JP 2 | 002- | 2871 | 51 | i | A 2 | 0020 | 930 |
| | | | | | | | | | i | JP 2 | 003~ | 1674 | 8 | ž | A 2 | 0030 | 124 |
| | | | | | | | | | 1 | WO 2 | 003- | JP63 | 39 | , | W 2 | 0030 | 522 |
| OTHER S | OURCE | (S): | | | MAR | PAT | 140: | 1672 | 3 | | | | | | | | |

OTHER SOURCE(S):

MARPAT 140:16723

AB 1,2-Azole derivs. A-B-Xa-Ya-Xb-Yb-C-Xc-Yc-C(:0)-R (I; e.g. II) wherein ring A optionally has 1-3 substituents; ring B is a 1,2-azole ring which may further have 1 to 3 substituents; Xa, Xb and Xc are the same or different and each is a bond, -O-, -S- and the like; Ya is a divalent aliph. hydrocarbon residue having 1-20 C atoms; Yb and Yc are the same or different and each is a bond or a divalent aliph. hydrocarbon residue having 1-20 C atoms; ring C is a monocyclic arom. ring which may further have 1 to 3 substituents; and R = -OR4 (R4 is H atom or (un) substituted hydrocarbon group) and the like, or a salt thereof or a prodrug thereof is useful as an agent for the prophylaxis or treatment of diabetes and the like. Hypoglycemic and hypolipidemic actions in mice are tabulated for about 50 examples of I; e.g. a 53 % rate of decrease in blood glucose level in the presence of 0.005 % [2-[3-[3-isopropyl-1-[5-(trifluoromethyl)-2-pyridinyl]-1H-pyrazol-4-yl]propoxy]-3- methylphenyl]acetic acid and a 77 % rate of decrease in blood triglyceride level in the presence of 0.005 % 2-methyl-2-[4-[3-methyl-1-[5- (trifluoromethyl)-2-pyridyl]-1Hpyrazol-4-ylmethoxy]phenoxy]propionic acid when the level (glucose or triglyceride) of the non-treated group is taken as 100 %. Plasma antiarteriosclerosis index-enhancing action in mice is tabulated for 34 examples of I, e.g. 25 % for [3-methoxy-2-[3-[3-propyl-1- [5-(trifluoromethyl)-2pyridyl]-1H-pyrazol-4-yl]propoxy]phenyl]acetic acid. PPAR.gamma.-RXR.alpha. and PPAR.delta.-RXR.alpha. heterodimer ligand activity is tabulated for 59 and 80 examples, resp., of I, e.g. EC50 = 3.8 nM for PPAR.gamma.-RXR.alpha. for [2-[3-[3-cyclohexy]-1-[5- (trifluoromethyl)-2-pyridinyl]-1H-pyrazol-4yl]propoxy]-3- methylphenyl]acetic acid. Nearly 400 example prepns. of I and 351 example prepns. of intermediates are included. For example, [4-[3-[3-[4-(trifluoromethyl)phenyl]-5-isoxazolyl]propoxy]phenyl]acetic acid was obtained in 25 % yield from a mixt. of 3-[3-[4-(trifluoromethyl)phenyl]-5- isoxazolyl]-1-Pr methanesulfonate, NaI, Me 2-(4-hydroxyphenyl)acetate, K2CO3 and DMF; details of the prepn. of the mesylate are also given.

REFERENCE COUNT:

THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d 17 ibib abs tot

L7 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2007 ACS ON STN ACCESSION NUMBER: 2005:1026833 CAPLUS Full-text

19

DOCUMENT NUMBER:

143:326090

TITLE:

Preparation of arylmethoxyphenyl-alkylcarboxylic acids

and related derivatives for use in treating

metabolic disorders

INVENTOR (S):

Akerman, Michelle; Houze, Jonathan; Lin, Daniel C. H.; Liu, Jiwen; Luo, Jian; Medina, Julio C.; Qiu, Wei;

Reagan, Jeffrey D.; Sharma, Rajiv; Shuttleworth, Stephen J.; Sun, Ying; Zhang, Jian; Zhu, Liusheng Amgen Inc., USA; et al. PATENT ASSIGNEE(S): SOURCE: PCT Int. Appl., 163 pp. CODEN: PIXXD2 DOCUMENT TYPE: Patent LANGUAGE: English FAMILY ACC. NUM. COUNT: PATENT INFORMATION: PATENT NO. KIND APPLICATION NO. DATE DATE WO 2005086661 **A2** 20050922 WO 2005-US5815 20050224 WO 2005086661 Α3 20060504 AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, XA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, MJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, &F, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, · MR, NE, SN, TD, TG AU 2005220728 A2 20050922 AU 2005-220728 20050224 AU 2005220728 **A**1, 20050922 X1 CA 2558585 20050922 CA 2005-2558585 20050224 EP 1737809 A2 20070103 EP 2005-723623 20050224 AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, ZI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV/MK, YU CN 1946666 20070411 CN 2005-80012709 20050224 US 200600401/2 **A1** 20060105 US 2005-67377 20050225 MX 2006PA0/9793 Α 20061030 MX 2006-PA9793 20060828 US 200714/2384 Α1 20070621 US 2006-591214 20060828 NO 2006,004362 20061122 NO 2006-4362 20060926 PRIORITY APPLN. INFO.: US 2004-548741P 20040227 US 2004-601579P P 20040812 WO 2005-US5815 20050224 OTHER SOURCE(S): MARPAT 143:326090 GI

AB Title compds. Q-L1-P-L2-M-X-L3-A [Q = H, (hetero)aryl, alkyl, etc.; L1 = bond, alkylene, heteroalkylene, O, etc.; P = (hetero)arom., cycloalkylene, etc.; L2 = bond, alkylene, heteroalkylene, etc.; M = (hetero)arom., cycloalkylene,

arylalkylene, etc.; X = divalent alkyl, (un)substituted-N; O, SOO-2; L3 = bond, alkylene, heteroalkylene, etc.; A = COOH, tetrazolyl, SO3H, PO3H2, etc.; I) are prepd. For instance, (S)-3-[4-((4'-trifluoromethyl-1,1'-biphenyl-3yl)methoxy)phenyl]hexan-4-ynoic acid (II) is prepd. in 5 steps from (S)-3-(4hydroxyphenyl)hexan-4-ynoic acid Me ester (prepn. given), 4-(trifluoromethyl)phenylboronic acid and 3-bromobenzoic acid. II has an EC50 < 0.1 .mu.M for human G protein-coupled receptor GPR40. I are useful for the treatment of type II diabetes.

ANSWER 2 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

2004:606448 CAPLUS Full-text

DOCUMENT NUMBER:

141:157111

TITLE:

Preparation of pyrazoles and analogs as PPAR

modulators for treatment of metabolic

disorders, diabetes mellitus, atherosclerosis,

and cardiovascular disorders

INVENTOR(S):

Conner, Scott Eugene; Ma, Tianwei; Mantlo, Nathan Bryan; Mayhugh, Daniel Ray; Schkeryantz, Jeffrey

Michael; Warshawsky, Alan M.; Zhu, Guoxin

PATENT ASSIGNEE(S):

Eli Lilly and Company, USA

SOURCE:

PCT Int. Appl., 214 pp. CODEN: PIXXD2

DOCUMENT TYPE:

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LANGUAGE:

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PATENT INFORMATION:

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| WO 2004063166 | A1 20040729 | WO 2003-US39119 | 20031231 |
| WO 2004063166 | A8 20050303 | | |
| W: AE, AG, AL, | AM, AT, AU, AZ, | BA, BB, BG, BR, BW, | BY, BZ, CA, CH, |
| CN, CO, CR, | CU, CZ, DE, DK, | DM, DZ, EC, EE, EG, | ES, FI, GB, GD, |
| GE, GH, GM, | HR, HU, ID, IL, | IN, IS, JP, KE, KG, | KP, KR, KZ, LC, |
| | | MD, MG, MK, MN, MW, | |
| | | RU, SC, SD, SE, SG, | |
| TM, TN, TR, | TT, TZ, UA, UG, | US, UZ, VC, VN, YU, | ZA, ZM, ZW |
| RW: BW, GH, GM, | KE, LS, MW, MZ, | SD, SL, SZ, TZ, UG, | ZM, ZW, AM, AZ, |
| BY, KG, KZ, | MD, RU, TJ, TM, | AT, BE, BG, CH, CY, | CZ, DE, DK, EE, |
| ES, FI, FR, | GB, GR, HU, IE, | IT, LU, MC, NL, PT, | RO, SE, SI, SK, |
| | | GA, GN, GQ, GW, ML, | |
| | | AU 2003-296404 | • |
| EP 1585733 | A1 20051019 | EP 2003-815195 | 20031231 |
| R: AT, BE, CH, | DE, DK, ES, FR, | GB, GR, IT, LI, LU, | NL, SE, MC, PT, |
| IE, SI, LT, | LV, FI, RO, MK, | CY, AL, BG, CZ, EE, | HU, SK |
| | | US 2005-540341 | |
| PRIORITY APPLN. INFO.: | | US 2003-438563P | P 20030106 |
| | | WO 2003-US39119 | W 20031231 |
| OTHER SOURCE(S): | MARPAT 141:1571 | 11 | · |

GI

$$E-Y = \begin{vmatrix} R8 & R32 & R1 \\ \hline & V-U & Z1 & Z2 \\ \hline & R9 & R11 & I \end{vmatrix}$$

AB Title pyrazoles, imidazoles, and (is)oxazoles I [wherein R1 = H, (un) substituted alkyl, alkenyl, (hetero) aryl(alkyl), arylheteroalkyl, cycloalkylaryl(alkyl); R2 = absent, (hetero)alkyl; R8 = H, alkyl, alkylenyl, halo; R9 = H, (un)substituted alkyl, alkylenyl, halo, aryl(alkyl), heteroaryl, allyl, alkoxy, alkylthio, etc.; R10, R11 = independently H, OH, CN, NO2, halo, oxo, (un) substituted (halo) alkyl, alkoxy, cycloalkyl, (hetero) aryl(alkyl), cycloalkylaryl(alkyl), aryloxy, acyl, carboxy, amino, sulfamoyl, etc.; R32 = bond, H, halo, (halo)alkyl, alkyloxo; E = (un)substituted carboxy(methyl), tetrazolyl(methyl), nitriloalkyl, carboxamido(methyl), sulfonamido(methyl); U = (un) substituted aliph. linker wherein one C of the linker is optionally replaced with O, NH, or S; X = bond, O, S, SO2, NH; Y = bond, CH2, NH; Z1, Z2 = independently N, O, C, whit the proviso that at least one of Z1 and Z2 = N; Z3 = N, O, C; or stereoisomers, pharmaceutically acceptable salts, solvates, and hydrates thereof] were prepd. as peroxisome proliferator activated receptor (PPAR) modulators (no data). For example, chlorination of [3-methyl-1-(4-trifluoromethylphenyl)-1H-pyrazol-4-yl]methanol with MeSO2Cl and TEA in CH2Cl2, followed by coupling with (4-hydroxy-2- methylphenoxy)acetic acid Me ester using Cs2CO3 in acetonitrile and sapon. with NaOH in MeOH provided II. I and their pharmaceutical compns. are expected to be effective in treating and preventing metabolic disorders, diabetes mellitus, atherosclerosis, and cardiovascular disorders (no data).

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Executing the logoff script...

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COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION FULL ESTIMATED COST 257.87 442.63 DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL ENTRY SESSION CA SUBSCRIBER PRICE -52.26 -52.26

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